Access DB# 23593

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Grace Hsu Examiner #: [illegible] Date: 8/28/02
Art Unit: 1629 Phone Number 305-7005 Serial Number: PCT/US01/20942
Mail Box and Bldg/Room Location: 80-12 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Benzimidazole Derivatives & Combinatorial Libraries Thereof

Inventors (please provide full names): ZANG, Hengyuan + Pei, Yazhong

Earliest Priority Filing Date: 9/21/99

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**

Please search claims 1-34

Please Search 09/901,004

09/901,004

Christina Chan

4498

1640

Rush

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AUG 28 2002
TECH CENTER 1600/2900

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STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher: [illegible]	NA Sequence (#)	STN ✓
Searcher Phone #: 4498	AA Sequence (#)	Dialog
Searcher Location:	Structure (#) ✓	Questel/Orbit
Date Searcher Picked Up: 8/30	Bibliographic	Dr.Link
Date Completed: 8/31	Litigation	Lexis/Nexis
Searcher Prep & Review Time:	Fulltext	Sequence Systems
Clerical Prep Time: 45	Patent Family	WWW/Internet
Online Time: ~~85~~	Other	Other (specify)

PTO-1590 (1-2000)

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FILE 'REGISTRY' ENTERED AT 09:41:58 ON 31 AUG 2000
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STRUCTURE FILE UPDATES:   30 AUG 2000  HIGHEST RN 287950-86-1
DICTIONARY FILE UPDATES:  30 AUG 2000  HIGHEST RN 287950-86-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 11, 2000

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Structure search limits have been increased.  See HELP SLIMIT
for details.

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L1                STR
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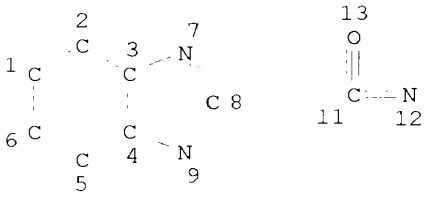


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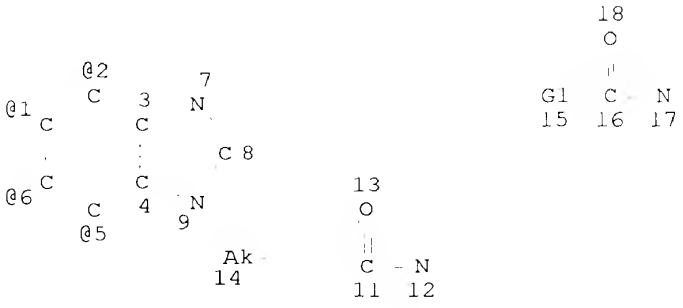


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NUMBER OF NODES IS 17

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L15 0 S L8

FILE 'HCAPLUS' ENTERED AT 09:40:56 ON 31 AUG 2000
L16 3 S L8

FILE 'USPATFULL' ENTERED AT 09:41:40 ON 31 AUG 2000
L17 0 S L8

FILE 'REGISTRY' ENTERED AT 09:41:58 ON 31 AUG 2000

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L8 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2000 ACS
RN 236416-02-7 REGISTRY
CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[[2-(dimethylamino)ethyl]amino]carbonyl]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)
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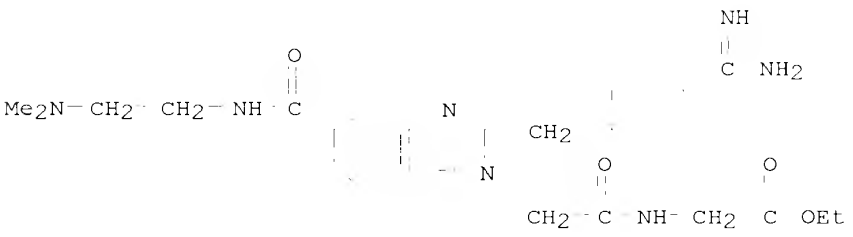
LC STN Files: CA, CAPLUS



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2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:157771

REFERENCE 2: 131:157761

L8 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2000 ACS
RN 189044-40-4 REGISTRY
CN 1H-Benzimidazole-4-carboxamide, N-(2,6-dichlorophenyl)-1-[2-(4-morpholinyl)-2-oxoethyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD

MF C21 H17 Cl2 F3 N4 O3
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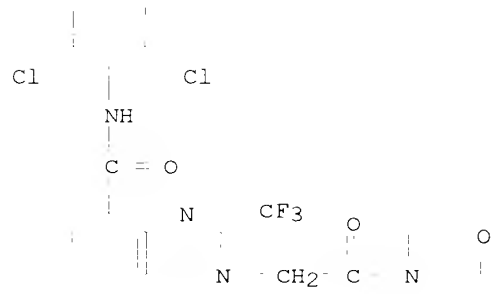

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1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:293352

L8 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2000 ACS
RN 189044-25-5 REGISTRY
CN 1H-Benzimidazole-4-carboxamide, N-(2,6-dimethylphenyl)-1-[2-(4-morpholinyl)-2-oxoethyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)
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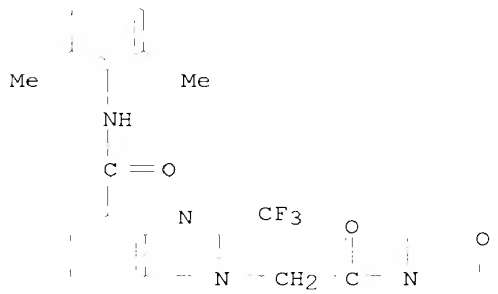
LC STN Files: CA, CAPLUS



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1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:293352

L8 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2000 ACS
RN 189044-24-4 REGISTRY
CN 1H-Benzimidazole-4-carboxamide, N-(2-methoxy-6-methylphenyl)-1-[2-(4-morpholinyl)-2-oxoethyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)
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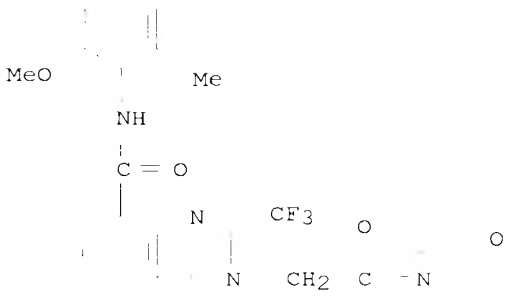
SR CA
LC STN Files: CA, CAPLUS



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1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:293352

L8 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2000 ACS
RN 189044-23-3 REGISTRY
CN 1H-Benzimidazole-4-carboxamide, N-(2-chloro-6-methylphenyl)-1-[2-(4-morpholinyl)-2-oxoethyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)
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MF C22 H20 Cl F3 N4 O3
SR CA
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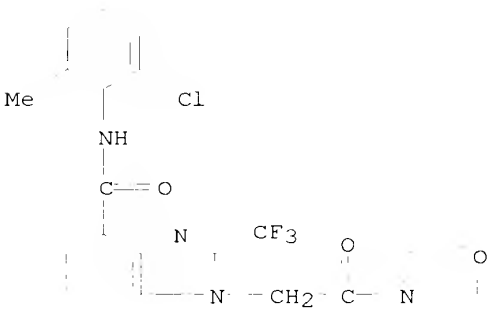

1 REFERENCES IN FILE CA (1967 TO DATE)
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REFERENCE 1: 126:293352

=> fil hcaplus

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1967 - 31 Aug 2000 VOL 133 ISS 9
FILE LAST UPDATED: 29 Aug 2000 (20000829/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

Now you can extend your author, patent assignee, patent information, and title searches back to 1907. The records from 1907-1966 now have this searchable data in CAOLD. You now have electronic access to all of CA: 1907 to 1966 in CAOLD and 1967 to the present in HCAPLUS on STN.

=> d all tot l16

L16 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2000 ACS
AN 1999:511140 HCAPLUS
DN 131:157771
TI Preparation of five-membered, benzo-condensed heterocycles as antithrombotics
IN Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Priepke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen, Wolfgang; Zimmermann, Rainer
PA Boehringer Ingelheim Pharma Kg, Germany
SO PCT Int. Appl., 250 pp.
CODEN: PIXXD2
DT Patent
LA German
IC ICM C07D235-16
ICS A61K031-415; C07D403-12; C07D413-14; C07D401-06; C07D413-06; C07D401-12; C07D403-14; C07D263-56; C07D277-64; C07D209-18; C07D307-81; C07D405-12; C07D405-14; C07F009-32
CC 28-20 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 25
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9940072	A1	19990812	WO 1999-EP537	19990128
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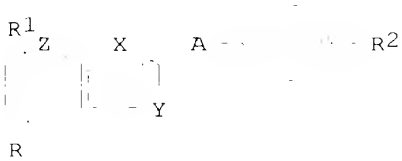

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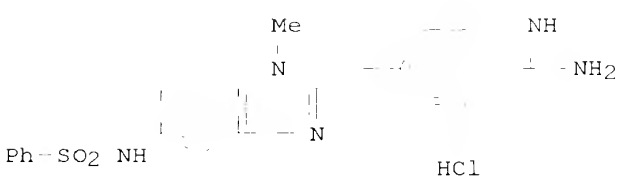

HCl II

AB Title compds. [I; R = 5-C6H5SO2NH, 6-C6H5SO2NH, 5-C6H5NHSO2, 5-C6H5SO2N(CH2COOEt), 5-C6H5SO2N(CH3), 5-C6H5N(CH2CH2CH2COOEt)CO, 5-C6H5, CH3N(C6H5)CO, 8; R1 = H, 7-CH3, 3-Br, 3-EtO; R2 = C(:NH)NH2; A = CH2, NH; X = CH, MeN, EtOCOCH2CH2N, O, S, NCH2CO2H; Y = N, CH, CH:CH; Z = CH, N; dotted bond = single, double in relation to X; A is attached at 2,or 8 position depending on the heterocyclic ring] and their tautomers, stereoisomers, mixts. and their physiol. compatible salts with inorg. or org. acids or bases are prepd. and title compds in which R2 is a cyano group, present valuable intermediate products for the prodn. of the remaining compds. of the general formula I, with R2 is amidino, which have valuable pharmacol. properties, esp. an antithrombotic activity. Thus, the title compd. II was prepd.

ST benzimidazolylmethylbenzamidine benzimidazolylaminobenzamidine benzthiazolylmethylbenzamidine indolylmethylbenzamidine prepn antithrombotic; benzofuranylmethylbenzamidine quinolinylsulfonylaminobenzthiazolylmethylbenzamidine prepn antithrombotic

IT Anticoagulants
(prepn. of five-membered benzo-condensed heterocycles as antithrombotics)

IT 236414-44-1P 236416-44-7P 236416-45-8P 236416-63-0P 236416-89-0P
236417-16-6P 236418-65-8P
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of five-membered benzo-condensed heterocycles as antithrombotics)

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RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of five-membered benzo-condensed heterocycles as antithrombotics)

IT 236416-98-1P 236416-99-2P 236417-00-8P 236417-01-9P 236417-02-0P
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236417-24-6P 236417-25-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of five-membered benzo-condensed heterocycles as antithrombotics)

IT 67-63-0, Isopropanol, reactions 99-56-9, 4-Nitro-o-phenylenediamine 100-02-7, 4-Nitrophenol, reactions 107-10-8, n-Propylamine, reactions 108-90-7, Chlorobenzene, reactions 110-53-2, n-Pentylbromide 120-92-3, Cyclopentanone 122-01-0, 4-Chloro-benzoyl chloride 134-32-7, 1-Naphthylamine 364-76-1, 4-Fluoro-3-nitroaniline 369-36-8, 2-Fluoro-5-nitroaniline 407-25-0, Trifluoroacetic acid anhydride 553-86-6, 2(3H)-Benzofuranone 603-76-9, N-Methylindole 623-33-6 628-77-3, 1,5-Diiodopentane 635-22-3, 4-Chloro-3-nitroaniline 873-74-5, 4-Aminobenzonitrile 1068-90-2, Acetamidomalonic acid diethyl ester 1461-22-9, Tributyltin chloride 2719-27-9, Cyclohexanecarbonyl chloride 3984-34-7 4509-90-4, 5-Bromovaleroyl chloride 5393-46-4 5462-71-5, 4-Cyanophenylacetic acid 10025-87-3, Phosphoric trichloride 16419-60-6, 2-Tolylboric acid 17201-43-3, 4-Bromomethylbenzonitrile 20430-33-5, 4-Cyanobenzyl triphenylphosphonium chloride 23249-97-0, 2-Benzimidazolepropionic acid 38136-29-7 50893-53-3 52605-49-9, Sarcosine ethyl ester hydrochloride 52798-01-3 236418-59-0 236418-60-3 236418-62-5 236418-64-7
RL: RCT (Reactant)
(prepn. of five-membered benzo-condensed heterocycles as antithrombotics)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of five-membered benzo-condensed heterocycles as antithrombotics)

RE.CNT 10
RE
(1) Boehringer Ingelheim Pharma Kg; DE 19718181 A 1998
(2) Boehringer Ingelheim Pharma Kg; WO 9837075 A 1998
(3) Boehringer Mannheim Gmbh; EP 0223937 A 1987
(4) Boehringer Mannheim Gmbh; EP 0275888 A 1988
(5) Daiichipharmaceutical Co Ltd; EP 0540051 A 1993
(6) Dr Karl Thomae Gmbh; EP 0531883 A 1993
(7) Dr Karl Thomae Gmbh; EP 0567966 A 1993
(8) Eli Lilly And Company; EP 0655439 A 1995
(9) McNeil-Ppc Inc; US 5342851 A 1994 HCAPLUS
(10) Nagahara, T; J MED CHEM 1994, V37(8), P1200 HCAPLUS

L16 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2000 ACS
AN 1999:505930 HCAPLUS
DN 131:157761
TI 5-Membered heterocyclic condensed benzo derivatives, their preparation, and their use as drugs
IN Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Priepke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen, Wolfgang; Zimmermann, Rainer
PA Boehringer Ingelheim Pharma K.-G., Germany
SO Ger. Offen., 94 pp.
CODEN: GWXXBX
DT Patent
LA German
IC ICM C07D235-14
ICS C07D235-20; C07D401-12; C07D403-12; C07D413-14; C07D405-12; C07D417-12; C07D277-28; C07D263-56; C07D307-81; C07D209-14; A61K031-33
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI DE 19804085 A1 19990805 DE 1998-19804085 19980203
WO 9940072 A1 19990812 WO 1999-EP537 19990128
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9927201 A1 19990823 AU 1999-27201 19990128
PRAI DE 1998-19804085 19980203
DE 1998-19834325 19980730
WO 1999-EP537 19990128
OS MARPAT 131:157761
AB Approx. 300 antithrombotic title compds. such as 4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamidine hydrochloride (I), 4-[5-[N-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-benzimidazol-2-ylmethyl]benzamidine dihydrochloride, 4-[5-[N-(3-carboxypropionyl)-N-(cyclopentyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamidine hydrochloride (II), and 4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzothiazol-2-ylmethyl]benzamidine hydrochloride were prepd. by std. methods. The ED200 in .mu.M for I was 0.92 and for II was 0.82. Formulations for the antithrombotics were given.
ST antithrombotic benzimidazolylmethylbenzamidine prepn; benzamidine benzimidazolyl benzothiazolyl prepn
IT Anticoagulants
(prepn. and antithrombotic activity of benzimidazolylmethylbenzamidines)
IT 237750-48-0P 237750-49-1P 237750-50-4P 237750-51-5P 237750-52-6P 237750-53-7P 237750-54-8P 237750-55-9P 237750-56-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antithrombotic activity of benzimidazolylmethylbenzamidines)
IT 62-53-3, Benzenamine, reactions 78-81-9, Isobutylamine 96-99-1, 4-Chloro-3-nitrobenzoic acid 97-08-5, 4-Chloro-3-nitrobenzenesulfonyl chloride 98-09-9, Benzenesulfonyl chloride 99-56-9, 4-Nitro-o-phenylenediamine 100-02-7, 4-Nitrophenol, reactions 105-36-2, Ethyl bromoacetate 107-10-8, 1-Propanamine, reactions 108-30-5, reactions 108-90-7, Chlorobenzene, reactions 109-04-6, 2-Bromopyridine 110-53-2, 1-Bromopentane 119-34-6, 4-Hydroxy-3-nitroaniline 120-92-3, Cyclopentanone 123-75-1, Pyrrolidine, reactions 134-32-7, 1-Naphthylamine 364-76-1, 4-Fluoro-3-nitroaniline 369-36-8, 2-Fluoro-5-nitroaniline 501-53-1, Benzyl chloroformate 541-41-3, Ethyl chloroformate 553-86-6, 2(3H)-Benzofuranone 603-76-9, 1-Methylindole 623-33-6, Glycine ethyl ester hydrochloride 623-48-3, Ethyl iodoacetate 635-22-3, 4-Chloro-3-nitroaniline 645-88-5, Carboxymethoxyamine 873-74-5, 4-Aminobenzonitrile 1068-90-2, Diethyl acetamidomalonate 1146-39-0 1461-22-9, Tributyltin chloride 1528-41-2, Ethyl 4-cyanophenylacetate 1569-69-3, Cyclohexyl mercaptan 1878-66-6, 4-Chlorophenylacetic acid 2719-27-9, Cyclohexanecarbonyl chloride 3984-34-7, 4-(4-Chlorophenyl)-4-oxobutyric acid 4509-90-4, 5-Bromovaleroyl chloride 4801-27-8, 2-Bromoethyl chloroformate 5292-43-3, tert-Butyl bromoacetate 5393-46-4, 2-Nitro-4-phenylacetanilide 5462-71-5, 4-Cyanophenylacetic acid 7452-59-7, n-Octyl chloroformate 13248-54-9, Cyclohexyl chloroformate 15441-07-3, Methyl 3-(chlorosulfonyl)propionate 16419-60-6, o-Tolylboronic acid 17201-43-3, 4-Cyanobenzyl bromide 18704-37-5, 8-Quinolinesulfonyl chloride 20430-33-5, 4-Cyanobenzyltriphenylphosphonium chloride 21535-97-7, 3-Methylbenzofuran 23249-97-0, 3-(2-Benzimidazolyl)propionic acid 38136-29-7, 4-Methylpentanoyl chloride 49665-74-9, 2-Chloromethyl-1-methylpiperidine 50479-22-6, tert-Butyl 4-aminobutyrate 50893-53-3,

1-Chloroethyl chloroformate 52605-49-9, Sarcosine ethyl ester hydrochloride 53298-30-9, 2-Methylsulfonylethyl 4-nitrophenyl carbonate 64399-27-5, 1-(4-Chlorophenyl)-1-cyclopropanecarbonitrile 72934-37-3, 1-(4-Chlorophenyl)cyclopropanecarboxylic acid 187160-68-5, 4-Chloro-3-nitrobenzyl methanesulfonate 236414-82-7 236417-58-6 236418-60-3 236418-62-5 237750-73-1 237750-74-2 237750-75-3 237750-76-4 237750-77-5 237750-78-6 237750-79-7 237750-80-0 237750-81-1 237750-82-2 237750-83-3 237750-84-4 237750-85-5 237750-86-6 237750-87-7 237750-88-8 237750-89-9 237750-90-2 237750-91-3 237750-92-4 237750-93-5 237750-94-6 237750-95-7 237750-96-8 237750-97-9 237750-98-0 237750-99-1 237751-00-7 237751-01-8 237751-02-9 237751-03-0 237751-04-1 237751-05-2 237751-06-3 237751-07-4 237751-08-5 237751-09-6 237751-10-9 237751-11-0 237751-12-1 237751-13-2 237751-14-3 237751-15-4 237751-16-5 237751-17-6 237751-18-7 237751-19-8 237751-20-1 237751-21-2 237751-22-3 237751-23-4 237751-24-5 237751-25-6 237751-26-7 237751-27-8 237751-28-9 237751-29-0 237751-30-3 237751-31-4 237751-32-5 237751-33-6 237751-34-7 237751-35-8 237751-36-9 237751-37-0 237751-38-1 237751-39-2 237751-40-5 237751-41-6 237751-42-7 237751-43-8 237751-44-9 237751-45-0 237751-46-1 237751-47-2 237751-48-3 237751-49-4 237751-50-7 237751-51-8 237751-52-9 237751-53-0 237751-54-1 237751-55-2 237751-56-3 237751-57-4 237751-58-5 237751-59-6 237751-60-9 237751-61-0 237751-62-1 237751-63-2 237751-64-3 237751-65-4 237751-66-5 237751-67-6 237751-68-7 237751-69-8 237751-70-1 237751-71-2 237751-72-3 237751-73-4 237751-74-5 237751-75-6 237751-76-7 237751-77-8 237751-78-9 237751-79-0, 4-(5-Bromo-2-benzothiazolylmethyl)benzonitrile 237751-80-3 237751-81-4 237751-82-5 237751-83-6 237751-84-7 237751-85-8 237751-86-9 237751-87-0 237751-88-1 237751-89-2 237751-90-5 237751-91-6 237751-92-7 237751-93-8 237751-94-9 237751-95-0 237751-96-1 237751-97-2 237751-98-3 237751-99-4 237752-00-0 237752-01-1 237752-02-2 237752-03-3 237752-04-4 237752-05-5 237752-06-6 237752-07-7 237752-08-8 237752-09-9 237752-10-2 237752-11-3 237752-12-4 237752-13-5 237752-14-6 237752-15-7 237752-16-8 237752-17-9 237752-18-0 237752-19-1 237752-20-4 237752-21-5 237752-22-6 237752-23-7 237752-24-8 237752-25-9 237752-26-0 237752-27-1 237752-28-2 237752-29-3
RL: RCT (Reactant)
(prepn. and antithrombotic activity of benzimidazolylmethylbenzamidines)

IT 137-49-5P 1005-33-0P, Dichloro(4-chlorophenyl)phosphine 3277-80-3P, 4-(Cyclohexylcarbonyl)chlorobenzene 6315-23-7P, Ethyl 3-(1H-benzimidazol-2-yl)propionate 7019-01-4P, 4-Phenylsulfonylaniline 15965-66-9P, 1-Methyl-2-chloro-5-nitrobenzimidazole 19202-19-8P, 2-(4-Chlorophenyl)-1-(1-pyrrolidinyl)ethanone 20355-97-9P, Diethyl (4-chlorophenyl)phosphonite 22888-47-7P 25877-78-5P, N-Methyl-2-nitro-4-phenylaniline 36942-34-4P, 4-Benzenesulfonylamino-2-nitrophenol 38818-50-7P, 4-Chloro-3-nitrobenzoyl chloride 41939-61-1P, 2-Methylamino-5-nitroaniline 43229-87-4P 52117-01-8P 66108-85-8P, 1-Methyl-5-nitro-2-benzimidazolone 66315-37-5P 68502-17-0P, N-(2-Amino-4-phenylsulfonylphenyl)-N-methylamine 91955-91-8P, N-(2-Nitro-4-phenylsulfonylphenyl)-N-methylamine 101623-68-1P 101623-69-2P, 1-Chloroethyl 4-nitrophenyl carbonate 104388-73-0P, 4-Benzenesulfonylamino-2-aminophenol 105402-34-4P 157427-46-8P, 2-Tributylstannyl-1-methyl-1H-indole 198879-98-0P 211915-89-8P 211915-90-1P 211915-91-2P 211915-92-3P 211915-93-4P 236414-44-1P 236414-46-3P 236414-71-4P 236414-72-5P 236414-78-1P 236414-89-4P 236415-12-6P 236415-16-0P 236415-22-8P 236415-28-4P 236415-39-7P 236415-43-3P 236415-48-8P 236415-56-8P 236415-57-9P 236415-62-6P 236415-63-7P 236415-67-1P 236415-68-2P 236415-82-0P 236415-85-3P 236415-86-4P 236415-87-5P 236415-90-0P 236415-94-4P 236415-95-5P 236416-04-9P 236416-05-0P 236416-11-8P 236416-12-9P 236416-15-2P 236416-16-3P 236416-21-0P 236416-35-6P 236416-44-7P 236416-45-8P 236416-63-0P 236416-75-4P 236416-89-0P 236416-90-3P 236416-92-5P 236416-94-7P 236416-97-0P 236417-10-0P 236417-14-4P 236417-16-6P

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4-(Cyclohexylcarbonyl)-2-nitrochlorobenzene 236417-52-0P,
4-(Cyclohexylcarbonyl)-N-methyl-2-nitroaniline 236417-53-1P
236417-54-2P 236417-57-5P 236417-59-7P, Ethyl (4-
chlorophenyl)(pentyl)phosphinate 236417-60-0P 236417-61-1P
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N-Methyl-2-nitro-4-phenylacetanilide 236417-77-9P, 2-Amino-N-methyl-4-
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N-(4-Phenylsulfonylphenyl)methanesulfonamide 236417-93-9P,
N-(2-Nitro-4-phenylsulfonylphenyl)methanesulfonamide 236417-94-0P,
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236417-95-1P 236417-96-2P, N-Cyclopentyl-4-fluoro-3-nitroaniline
236417-97-3P, N-Cyclopentyl-4-methylamino-3-nitroaniline 236417-98-4P
236417-99-5P 236418-00-1P 236418-01-2P, 1-(4-Chlorophenyl)-4-methyl-1-
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4-(1-Methyl-1H-indol-2-yl)methylbenzonitrile 236418-36-3P 236418-37-4P
236418-38-5P 236418-40-9P, 2-Tributylstannyl-3-methylbenzofuran
236418-41-0P, 4-(3-Methylbenzofuran-2-ylmethyl)benzonitrile
236418-42-1P, 4-(3-Methyl-6-nitrobenzofuran-2-ylmethyl)benzonitrile
236418-43-2P, 4-(6-Amino-3-methylbenzofuran-2-ylmethyl)benzonitrile
236418-44-3P 236418-45-4P, 4-(2-Benzofuranylmethyl)benzonitrile
236418-46-5P, 4-(3-Bromo-2-benzofuranylmethyl)benzonitrile 236418-47-6P,
4-(3-Bromo-6-nitro-2-benzofuranylmethyl)benzonitrile 236418-48-7P,
4-(6-Amino-3-bromo-2-benzofuranylmethyl)benzonitrile 236418-49-8P
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237750-65-1P 237750-66-2P 237750-67-3P, 2-Amino-4-(cyclohexylcarbonyl)-
N-methylaniline 237750-68-4P 237750-69-5P 237750-70-8P
237750-71-9P 237750-72-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antithrombotic activity of benzimidazolylmethylbenzamidines
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IT 236414-28-1P 236414-29-2P 236414-31-6P 236414-32-7P 236414-34-9P
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237750-45-7P 237750-46-8P 237750-47-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antithrombotic activity of benzimidazolylmethylbenzamidines
)

L16 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:315042 HCAPLUS
DN 126:293352
TI Preparation of benzimidazoles for the prevention and/or the treatment of bone diseases
IN Oku, Teruo; Kawai, Yoshio; Yatabe, Takumi; Sato, Shigeki; Yamazaki, Hitoshi; Kayakiri, Natsuko; Yoshihara, Kousei
PA Fujisawa Pharmaceutical Co., Ltd., Japan; Oku, Teruo; Kawai, Yoshio; Yatabe, Takumi; Sato, Shigeki; Yamazaki, Hitoshi; Kayakiri, Natsuko; Yoshihara, Kousei
SO PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D235-06
ICS A61K031-415; C07D235-08; C07D235-10; C07D235-12; C07D235-24; C07D235-26; C07D235-28; C07D401-06; C07D401-12; C07D403-06; C07D403-12; C07D407-06
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9710219	A1	19970320	WO 1996-JP2530	19960905
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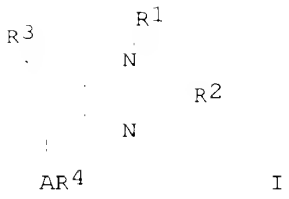
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 863881	A1	19980916	EP 1996-929540	19960905
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 11513364	T2	19991116	JP 1996-511824	19960905
PRAI	GB 1995-18552		19950911		
	WO 1996-JP2530		19960905		

OS MARPAT 126:293352
GI



I

AB The title compds. [I; R1 = acyl, (un)substituted lower alkenyl, lower alkyl; R2 = H, lower alkyl, lower alkoxy, etc.; R1R2 = lower alkylene, lower alkenylene (may include O, S, NH, N-alkyl); R3 = H, halo; R4 = (un)substituted heterocyclyl, aryl; A = CONR9, N(R10)CO (wherein R9, R10 = H, (un)substituted lower alkyl)], and their pharmaceutically acceptable salts, inhibitors of bone resorption and bone metab., were prepd. Thus, hydrogenation of 1,2-dimethyl-4-nitro-1H-benzimidazole over 10% Pd/C in MeOH followed by reaction of the resulting 4-amino-1,2-dimethyl-1H-benzimidazole with 2,6-dichlorobenzoyl chloride in the presence of Et3N in ethylene chloride afforded I [R1, R2 = Me; R3 = H; R4 = 2,6-Cl2C6H3; A = NHCO]. Compds. I are effective at 0.1-1000 mg/body/day.

ST benzimidazole prepn bone disease resorption metab

IT Metabolic diseases
(bone, inhibitors; prepn. of benzimidazoles for the prevention and/or the treatment of bone diseases)

IT Bone diseases
(metabolic, inhibitors; prepn. of benzimidazoles for the prevention and/or the treatment of bone diseases)

IT Bone diseases
Bone resorption inhibitors
(prepn. of benzimidazoles for the prevention and/or the treatment of bone diseases)

IT 170648-89-2P 189042-21-5P 189042-27-1P 189042-29-3P 189042-38-4P
189042-40-8P 189042-43-1P 189042-47-5P 189042-57-7P 189042-75-9P
189042-76-0P 189042-77-1P 189042-89-5P 189042-90-8P 189043-23-0P
189043-25-2P 189043-30-9P 189043-78-5P 189044-06-2P
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazoles for the prevention and/or the treatment of bone diseases)

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RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazoles for the prevention and/or the treatment of bone diseases)

IT 51-45-6, 4-(2-Aminoethyl)imidazole, reactions 60-56-0, 1-Methyl-2-mercaptoimidazole 61-54-1, 3-(2-Aminoethyl)indole 70-11-1, Benzoylmethyl bromide 75-30-9, Isopropyl iodide 78-95-5 79-09-4, Propanoic acid, reactions 79-14-1, reactions 87-63-8, 2-Chloro-6-methylaniline 88-17-5, 2-Trifluoromethylaniline 92-54-6, 1-Phenylpiperazine 96-33-3, Methyl acrylate 96-50-4, 2-Aminothiazole 98-10-2, Benzenesulfonamide 98-16-8, 3-Trifluoromethylaniline 99-98-9, N,N-Dimethyl-1,4-phenylenediamine 100-11-8, 4-Nitrobenzyl bromide 100-39-0, Benzyl bromide 105-36-2, Ethyl bromoacetate 106-95-6, Allyl bromide, reactions 108-33-8 108-55-4, Glutaric anhydride 109-01-3, 1-Methylpiperazine 109-70-6, 1-Bromo-3-chloropropane 109-85-3, (2-Methoxyethyl)amine 110-91-8, Morpholine, reactions 111-42-2, Bis(2-hydroxyethyl)amine, reactions 111-95-5 123-75-1, Pyrrolidine, reactions 124-68-5, 2-Amino-1-hydroxy-2-methylpropane 137-00-8 156-87-6, (3-Hydroxypropyl)amine 288-32-4, Imidazole, reactions 455-14-1, 4-Trifluoromethylaniline 459-73-4, Glycine ethyl ester 461-72-3, Hydantoin 462-08-8, 3-Aminopyridine 504-24-5, 4-Aminopyridine 534-03-2 535-11-5, Ethyl 2-bromopropionate 536-90-3, 3-Methoxyaniline 541-41-3, Ethyl chloroformate 574-98-1, 2-Phthalimidoethyl bromide 589-10-6, 2-Bromoethyl phenyl ether 608-31-1, 2,6-Dichloroaniline 610-14-0, 2-Nitrobenzoyl chloride 617-88-9 617-89-0, 2-Aminomethylfuran 627-42-9, 2-Chloroethyl methyl ether 824-94-2, 4-Methoxybenzyl chloride 870-46-2, tert-Butoxycarbonylhydrazine 872-35-5, 2-Mercaptoimidazole 927-68-4, 2-Acetoxyethyl bromide 1003-03-8, Cyclopentylamine 1072-67-9, 3-Amino-5-methylisoxazole 1099-45-2, Ethyl (triphenylphosphoranylidene)acetate 1462-37-9, 2-Benzyloxyethyl bromide 1466-76-8 1772-01-6 1822-51-1, 4-Chloromethylpyridine hydrochloride 1989-53-3, 2,6-Dimethoxybenzoyl chloride 2417-90-5, 2-Cyanoethyl bromide 2620-50-0, 3,4-(Methylenedioxy)benzylamine 2687-25-4, 3-Methyl-1,2-phenylenediamine 2706-56-1, 2-(2-Aminoethyl)pyridine 2740-83-2 3132-64-7, 2,3-Epoxypropyl bromide 3260-89-7, 2-Chloro-6-methoxybenzoic acid 3647-69-6, 2-Morpholinoethyl chloride hydrochloride 3694-52-8, 3-Nitro-1,2-phenylenediamine 3731-51-9, 2-Aminomethylpyridine 3731-52-0, 3-Aminomethylpyridine 3731-53-1, 4-Aminomethylpyridine 4005-51-0, 2-Amino-1,3,4-thiadiazole 4319-49-7, 4-Aminomorpholine 4331-29-7, 1H-Benzimidazol-4-amine 4556-23-4, 4-Mercaptopyridine 4597-87-9, 2-Methylaminopyridine 4659-45-4, 2,6-Dichlorobenzoyl chloride 4795-29-3, 2-Aminomethyltetrahydrofuran 4930-98-7, 2-Hydrazinopyridine 5292-43-3, tert-Butyl bromoacetate 5349-17-7 6482-24-2, 2-Methoxyethyl bromide 6628-77-9, 2-Methoxy-5-aminopyridine 6959-47-3, 2-Chloromethylpyridine hydrochloride 6959-48-4, 3-Chloromethylpyridine hydrochloride

7250-67-1 10444-89-0, 2-Amino-5-trifluoromethyl-1,3,4-thiadiazole 13889-98-0, 1-Acetylpiperazine 14949-00-9, 5-Amino-1,3,4-thiadiazole-2-sulfonamide 15159-40-7, Morpholinocarbonyl chloride 17694-68-7 20260-53-1, Nicotinoyl chloride hydrochloride 20850-43-5, 3,4-(Methylenedioxy)benzyl chloride 21900-37-8, 2,6-Dimethylbenzoyl chloride 23468-31-7 25660-70-2 28188-41-2, 3-Cyanobenzyl bromide 32890-93-0, 2,6-Dichloro-3-methoxybenzoic acid 35573-93-4, 3,3-Diethoxypropyl chloride 35629-70-0, 2-Amino-4-methyloxazole 50868-73-0, 2-Methoxy-6-methylaniline 57731-17-6 58479-61-1, tert-Butyldiphenylsilyl chloride 61063-11-4, Ethyl 2-amino-3-nitrobenzoate 73902-41-7 74124-79-1, Disuccinimidyl carbonate 81156-68-5 119967-49-6 154714-19-9 155795-83-8 176750-70-2 189046-14-8 189046-15-9
RL: RCT (Reactant)
(prepn. of benzimidazoles for the prevention and/or the treatment of bone diseases)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of benzimidazoles for the prevention and/or the treatment of bone diseases)

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES:   30 AUG 2000  HIGHEST RN 287950-86-1
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  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Structure search limits have been increased.  See HELP SLIMIT
for details.

=> d sta que l63

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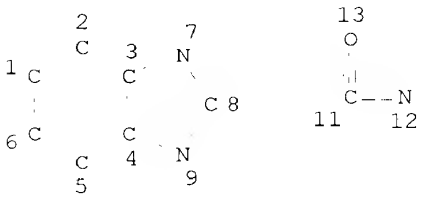


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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS  12

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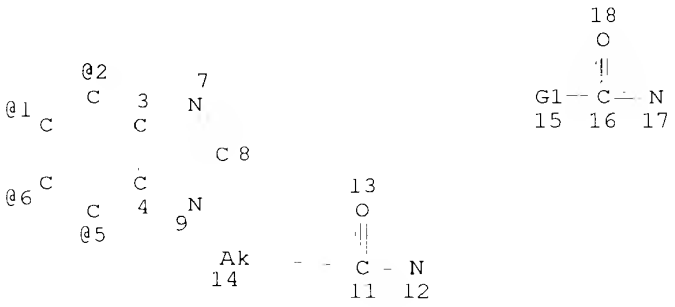


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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE
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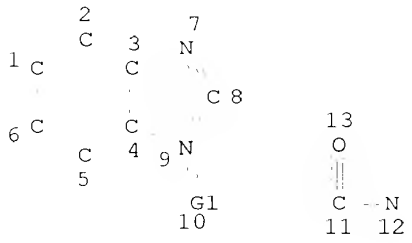
L29 STR



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NSPEC IS RC AT 12
NSPEC IS RC AT 14
CONNECT IS X2 RC AT 7
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 9
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
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L34 891 SEA FILE=REGISTRY SUB=L32 SSS FUL L29
L35 873 SEA FILE=REGISTRY ABB=ON PLU=ON L34 NOT (CCS OR MNS OR PMS)/CI
L36 868 SEA FILE=REGISTRY ABB=ON PLU=ON L35 NOT L8
L37 114 SEA FILE=REGISTRY ABB=ON PLU=ON L36 AND CAOLD/LC
L38 25 SEA FILE=REGISTRY ABB=ON PLU=ON L37 AND 2/NR
L39 21 SEA FILE=REGISTRY ABB=ON PLU=ON L38 NOT (NITRO OR LEUCINE)
L41 139 SEA FILE=REGISTRY ABB=ON PLU=ON L36 AND 2/NR
L42 18 SEA FILE=REGISTRY ABB=ON PLU=ON L41 AND IDS/CI
L43 8 SEA FILE=REGISTRY ABB=ON PLU=ON L42 NOT (NITRO OR S/ELS)
L44 121 SEA FILE=REGISTRY ABB=ON PLU=ON L41 NOT L42
L45 106 SEA FILE=REGISTRY ABB=ON PLU=ON L44 NOT NITRO
L46 49 SEA FILE=REGISTRY ABB=ON PLU=ON L45 AND (VALINE OR SERINE OR OXIME OR IUM OR BETA OR ACETAMIDE OR HYDRAZIN? OR PROPENOIC OR DIOXOBUTYL OR SI/ELS)
L47 17 SEA FILE=REGISTRY ABB=ON PLU=ON L46 AND (C9H8CLN3O OR C13H17N3O OR C11H13N3O OR C10H11N3O OR C9H9N3O OR C13H17N3O2 OR C11H13N3O2 OR C13H13N3O OR C14H19N3O)
L48 11 SEA FILE=REGISTRY ABB=ON PLU=ON L47 NOT HYDROXY
L49 57 SEA FILE=REGISTRY ABB=ON PLU=ON L45 NOT L46
L50 12 SEA FILE=REGISTRY ABB=ON PLU=ON L49 AND (C11H14N4O OR C10H12N4O OR C10H12N4O OR C10H11N3O OR C11H13N3O OR C10H7CLF3N3O2 OR C12H14N3O OR C10H11BRN4O OR C13H17N3O OR C11H13N3O OR C10H9F3N4O)
L51 14 SEA FILE=REGISTRY ABB=ON PLU=ON L49 AND (C13H17N3O OR C9H9CLN4O OR C10H10BR2N4O OR C11H13N3O OR C10H9F3N4O OR C10H13N5O OR C11H14N4O OR C9H10N4O OR C12H15N3O OR C14H20N4O2)
L52 39 SEA FILE=REGISTRY ABB=ON PLU=ON (L39 OR L43 OR L48 OR L50 OR L51)
L53 6 SEA FILE=REGISTRY ABB=ON PLU=ON L52 AND (C10H7CLF3N3O2 OR C9H8CLN3O OR C9H9CLN4O OR C11H13N3O2)
L54 4 SEA FILE=REGISTRY ABB=ON PLU=ON 29233-40-7 OR 59769-23-2 OR 99857-16-6 OR 196617-68-2
L55 2 SEA FILE=REGISTRY ABB=ON PLU=ON L53 NOT L54
L56 37 SEA FILE=REGISTRY ABB=ON PLU=ON L52 NOT L55
L61 33 SEA FILE=REGISTRY ABB=ON PLU=ON L56 NOT L54

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L62            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  L54 AND C11H13N3O2
L63           34 SEA FILE=REGISTRY ABB=ON  PLU=ON  (L61 OR L62)


=> d his 163-


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                 SAV L63 GSU20942E/A

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L64            5 S L63

     FILE 'HCAPLUS' ENTERED AT 10:44:10 ON 31 AUG 2000
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     FILE 'USPATFULL' ENTERED AT 10:44:16 ON 31 AUG 2000
L66            3 S L63

     FILE 'REGISTRY' ENTERED AT 10:44:28 ON 31 AUG 2000

     FILE 'REGISTRY' ENTERED AT 10:44:48 ON 31 AUG 2000

=> d ide can tot l63


L63  ANSWER 1 OF 34  REGISTRY  COPYRIGHT 2000 ACS
RN   246163-29-1  REGISTRY
CN   1H-Benzimidazole-1-acetic acid, 2-(trifluoromethyl)-, hydrazide (9CI)  (CA
     INDEX NAME)
FS   3D CONCORD
MF   C10 H9 F3 N4 O
SR   CA
LC   STN Files:   CA, CAPLUS
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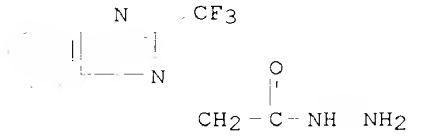

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               2 REFERENCES IN FILE CA (1967 TO DATE)
               2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE   1:  131:337255

REFERENCE   2:  131:286453

L63  ANSWER 2 OF 34  REGISTRY  COPYRIGHT 2000 ACS
RN   193405-08-2  REGISTRY
CN   1H-Benzimidazole-1-acetamide, 2-methyl-N-2-propynyl- (9CI)  (CA
     INDEX NAME)
FS   3D CONCORD
MF   C13 H13 N3 O
SR   CA
LC   STN Files:   CA, CAPLUS
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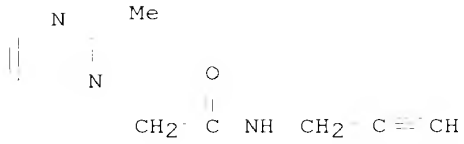
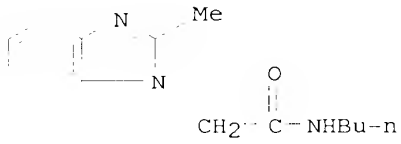


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 127:149109

L63 ANSWER 3 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 152342-28-4 REGISTRY
CN **1H-Benzimidazole-1-acetamide, N-butyl-2-methyl- (9CI)** (CA INDEX NAME)
FS 3D CONCORD
MF **C14 H19 N3 O**
SR CA
LC STN Files: CA, CAPLUS, CHEMINFORMRX

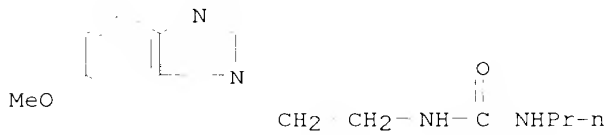


2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 120:77218

REFERENCE 2: 120:77217

L63 ANSWER 4 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 147621-92-9 REGISTRY
CN Urea, N-[2-(6-methoxy-1H-benzimidazol-1-yl)ethyl]-N'-propyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C14 H20 N4 O2**
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

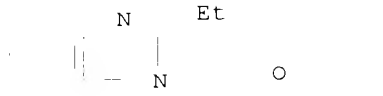


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:254750

L63 ANSWER 5 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 131717-37-8 REGISTRY
CN 1H-Benzimidazole-1-acetic acid, 2-ethyl-, hydrazide (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C11 H14 N4 O**

SR CA
LC STN Files: CA, CAPLUS, CASREACT



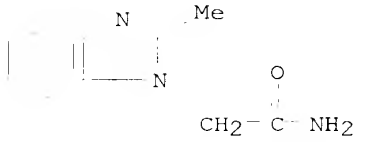
3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:61463

REFERENCE 2: 128:22862

REFERENCE 3: 114:62011

L63 ANSWER 6 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 126993-64-4 REGISTRY
CN **1H-Benzimidazole-1-acetamide, 2-methyl- (9CI)** (CA INDEX NAME)
FS 3D CONCORD
MF **C10 H11 N3 O**
SR CA
LC STN Files: CA, CAPLUS, CHEMINFORMRX

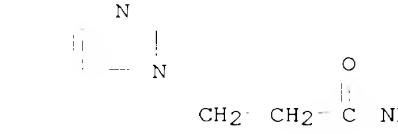


2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 120:77217

REFERENCE 2: 112:216795

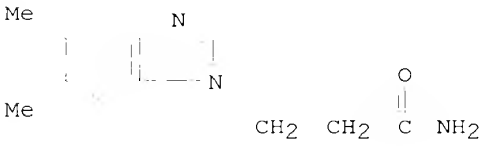
L63 ANSWER 7 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 119771-77-6 REGISTRY
CN 1-Benzimidazolepropionamide, ar-chloro- (6CI) (CA INDEX NAME)
MF C10 H10 C1 N3 O
CI **IDS**
SR CAOLD
LC STN Files: CAOLD



D1 Cl

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

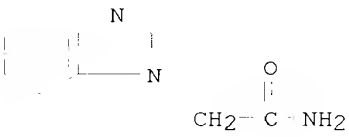
L63 ANSWER 8 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 116857-94-4 REGISTRY
CN 1H-Benzimidazole-1-propanamide, 5,6-dimethyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C12 H15 N3 O**
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

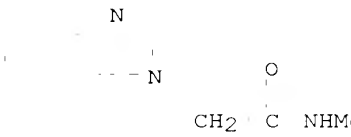
REFERENCE 1: 109:170325

L63 ANSWER 9 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 114255-58-2 REGISTRY
CN 1-Benzimidazoleacetamide, 5(or 6)-methyl- (6CI) (CA INDEX NAME)
MF C10 H11 N3 O
CI **IDS, COM**
SR CAOLD
LC STN Files: CAOLD



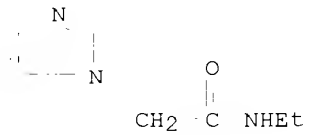
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L63 ANSWER 10 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 110493-05-5 REGISTRY
CN 1-Benzimidazoleacetamide, 5(or 6)-chloro-N-methyl- (6CI) (CA INDEX NAME)
MF C10 H10 Cl N3 O
CI **IDS, COM**
SR CAOLD
LC STN Files: CAOLD



1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

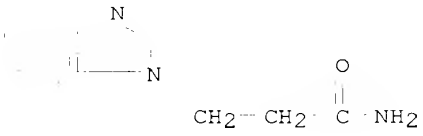
L63 ANSWER 11 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 110296-29-2 REGISTRY
CN 1-Benzimidazoleacetamide, 5(or 6)-chloro-N-ethyl- (6CI) (CA INDEX NAME)
MF C11 H12 Cl N3 O
CI **IDS**
SR CAOLD
LC STN Files: CAOLD



D1 Cl

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

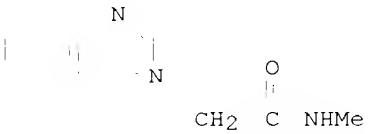
L63 ANSWER 12 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 110296-26-9 REGISTRY
CN 1-Benzimidazolepropionamide, ar-methyl- (6CI) (CA INDEX NAME)
MF C11 H13 N3 O
CI **IDS**
SR CAOLD
LC STN Files: CAOLD



D1 Me

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

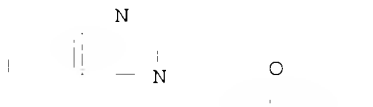
L63 ANSWER 13 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 110252-50-1 REGISTRY
CN 1-Benzimidazoleacetamide, N,5(or N,6)-dimethyl- (6CI) (CA INDEX NAME)
DR 110378-40-0
MF C11 H13 N3 O
CI **IDS, COM**
SR CAOLD
LC STN Files: CAOLD



D1 Me

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

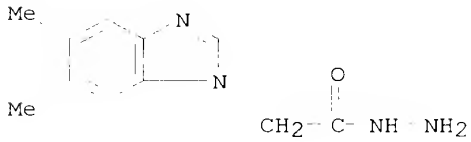
L63 ANSWER 14 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 108520-67-8 REGISTRY
CN 1-Benzimidazoleacetamide, N-ethyl-5(or 6)-methyl- (6CI) (CA INDEX NAME)
MF C12 H15 N3 O
CI **IDS, COM**
SR CAOLD
LC STN Files: CAOLD



D1 - Me

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

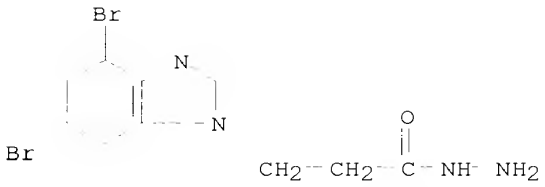
L63 ANSWER 15 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 107902-99-8 REGISTRY
CN 1H-Benzimidazole-1-acetic acid, 5,6-dimethyl-, hydrazide (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C11 H14 N4 O**
SR CA
LC STN Files: CA, CAPLUS, CHEMCATS, CSCHEM, TOXLIT



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 106:168488

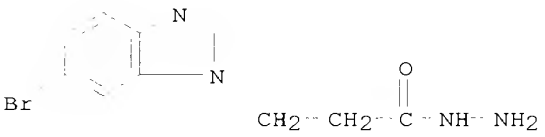
L63 ANSWER 16 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 105197-26-0 REGISTRY
CN 1H-Benzimidazole-1-propanoic acid, 4,6-dibromo-, hydrazide (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C10 H10 Br2 N4 O**
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 105:208805

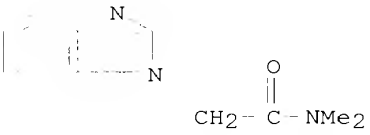
L63 ANSWER 17 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 105197-25-9 REGISTRY
CN 1H-Benzimidazole-1-propanoic acid, 6-bromo-, hydrazide (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C10 H11 Br N4 O**
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

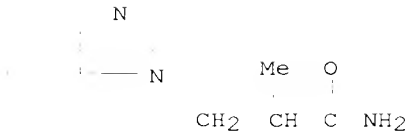
REFERENCE 1: 105:208805

L63 ANSWER 18 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 103861-13-8 REGISTRY
CN **1-Benzimidazoleacetamide, N,N-dimethyl- (6CI)** (CA INDEX NAME)
FS 3D CONCORD
MF **C11 H13 N3 O**
SR CAOLD
LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)



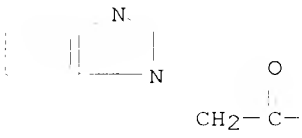
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L63 ANSWER 19 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 103857-64-3 REGISTRY
CN 1-Benzimidazolepropionamide, .alpha.-methyl- (6CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C11 H13 N3 O**
SR CAOLD
LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)



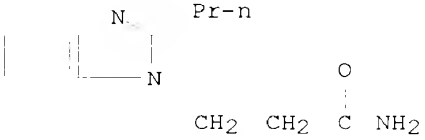
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L63 ANSWER 20 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 103096-30-6 REGISTRY
CN **1-Benzimidazoleacetamide, N-methyl- (6CI)** (CA INDEX NAME)
FS 3D CONCORD
MF **C10 H11 N3 O**
SR CAOLD
LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)



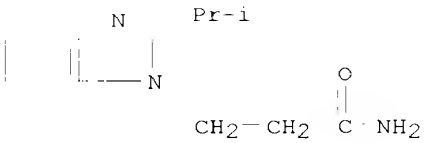
2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L63 ANSWER 21 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 100705-43-9 REGISTRY
CN 1-Benzimidazolepropionamide, 2-propyl- (6CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C13 H17 N3 O**
SR CAOLD
LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)



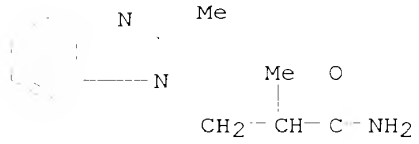
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L63 ANSWER 22 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 100705-42-8 REGISTRY
CN 1-Benzimidazolepropionamide, 2-isopropyl- (6CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C13 H17 N3 O**
SR CAOLD
LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)



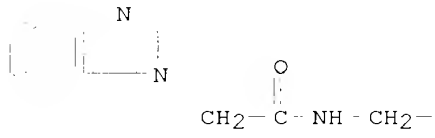
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L63 ANSWER 23 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 100137-97-1 REGISTRY
CN 1-Benzimidazolepropionamide, .alpha.,2-dimethyl- (6CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C12 H15 N3 O**
SR CAOLD
LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)



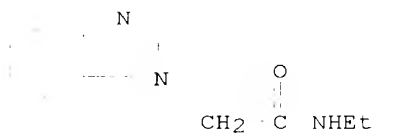
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L63 ANSWER 24 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN **99857-16-6** REGISTRY
CN 1-Benzimidazoleacetamide, N-2-hydroxyethyl- (6CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C11 H13 N3 O2**
SR CAOLD
LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)



1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

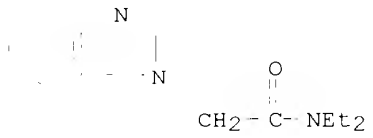
L63 ANSWER 25 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 99856-89-0 REGISTRY
CN **1-Benzimidazoleacetamide, N-ethyl- (6CI)** (CA INDEX NAME)
FS 3D CONCORD
MF **C11 H13 N3 O**
SR CAOLD
LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)



1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L63 ANSWER 26 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 97968-89-3 REGISTRY
CN **1H-Benzimidazole-1-acetamide, N,N-diethyl- (9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:

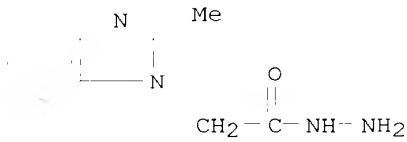
CN **1-Benzimidazoleacetamide, N,N-diethyl- (6CI)**
FS 3D CONCORD
MF **C13 H17 N3 O**
SR CA
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, SPECINFO
(*File contains numerically searchable property data)



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 103:104889

L63 ANSWER 27 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 97420-40-1 REGISTRY
CN 1H-Benzimidazole-1-acetic acid, 2-methyl-, hydrazide (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C10 H12 N4 O**
SR CA
LC STN Files: CA, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CSCHEM



5 REFERENCES IN FILE CA (1967 TO DATE)
5 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:61463

REFERENCE 2: 128:22862

REFERENCE 3: 120:77217

REFERENCE 4: 114:62011

REFERENCE 5: 103:53994

L63 ANSWER 28 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 97420-39-8 REGISTRY
CN 1H-Benzimidazole-1-acetic acid, hydrazide (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C9 H10 N4 O**
SR CA
LC STN Files: CA, CAPLUS, CHEMCATS

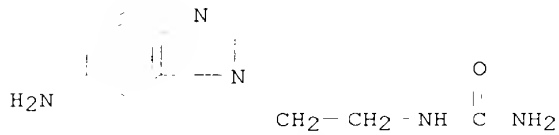
3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:104994

REFERENCE 2: 125:315091

REFERENCE 3: 103:53994

L63 ANSWER 29 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 72550-35-7 REGISTRY
CN Urea, [2-(6-amino-1H-benzimidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C10 H13 N5 O**
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 92:58688

L63 ANSWER 30 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 59336-96-8 REGISTRY
CN Urea, [2-(1H-benzimidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C10 H12 N4 O**
LC STN Files: BEILSTEIN*, CA, CAPLUS, RTECS*, TOXLIT
(*File contains numerically searchable property data)

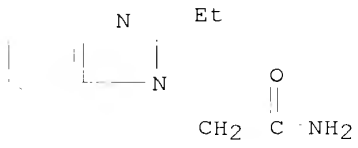
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 88:182452

REFERENCE 2: 85:5549

L63 ANSWER 31 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 54980-94-8 REGISTRY
CN **1H-Benzimidazole-1-acetamide, 2-ethyl- (9CI)** (CA INDEX NAME)
FS 3D CONCORD
MF **C11 H13 N3 O**

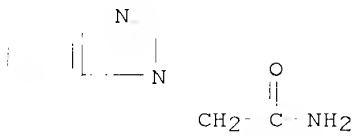
LC STN Files: BEILSTEIN*, CA, CAPLUS, CHEMCATS
(*File contains numerically searchable property data)



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 82:140010

L63 ANSWER 32 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 54980-92-6 REGISTRY
CN **1H-Benzimidazole-1-acetamide (9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **1-Benzimidazoleacetamide (6CI)**
FS 3D CONCORD
MF **C9 H9 N3 O**
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CHEMCATS, TOXLIT
(*File contains numerically searchable property data)

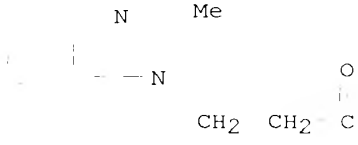


2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 129:293889

REFERENCE 2: 82:140010

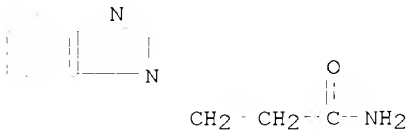
L63 ANSWER 33 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 40508-01-8 REGISTRY
CN 1H-Benzimidazole-1-propanamide, 2-methyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1-Benzimidazolepropionamide, 2-methyl- (6CI)
FS 3D CONCORD
MF **C11 H13 N3 O**
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CHEMCATS
(*File contains numerically searchable property data)



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 78:111212

L63 ANSWER 34 OF 34 REGISTRY COPYRIGHT 2000 ACS
RN 22492-17-7 REGISTRY
CN 1H-Benzimidazole-1-propanamide (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1-Benzimidazolepropionamide (6CI, 8CI)
FS 3D CONCORD
MF **C10 H11 N3 O**
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS
(*File contains numerically searchable property data)



4 REFERENCES IN FILE CA (1967 TO DATE)
4 REFERENCES IN FILE CAPLUS (1967 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 109:170325

REFERENCE 2: 91:123671

REFERENCE 3: 78:111212

REFERENCE 4: 70:96715

=> fil hcaold

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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=> d all tot l64

L64 ANSWER 1 OF 5 HCAOLD COPYRIGHT 2000 ACS
AN CA55:3560b CAOLD
TI synthesis of 4,5-bis(trifluoromethyl)benzimidazole
AU Fernandez Bolanos, J.; Overend, W. G.; Sykes, A.; Tatlow, J. C.; Wiseman, E. H.
IT 433-95-4 433-97-6 603-11-2 610-27-5 651-36-5 707-72-2
723-58-0 781-14-6 786-43-6 786-44-7 794-56-9 897-09-6
1978-06-9 1978-20-7 2741-57-3 2926-84-3 2965-07-3 3822-20-6

3855-52-5 3869-04-3 5466-84-2 **108520-67-8** 109820-14-6
111057-80-8 114036-76-9 114036-77-0 114426-64-1 114637-66-0 114741-39-8
117892-69-0 131252-36-3

L64 ANSWER 2 OF 5 HCAOLD COPYRIGHT 2000 ACS
AN CA55:3559h CAOLD
TI synthesis of derivs. of 5(or 6)-nitro-, 5(or 6)-chloro-, and 5(or 6)-methylbenzimidazole-N-acetic acids
AU Inam-Ul-Haq
IT 99849-20-4 108721-11-5 108726-34-7 **110252-50-1**
110296-29-2 110378-41-1 **110493-05-5** 110941-10-1
112072-28-3 **114255-58-2** 132488-49-4 133097-44-6

L64 ANSWER 3 OF 5 HCAOLD COPYRIGHT 2000 ACS
AN CA53:20040g CAOLD
TI N-alkyl-2-(1-benzimidazolyl)ethylamines
AU Bell, S.; Foster, R.; Soutar, W. E. B.
IT 5322-89-4 40516-96-9 87482-25-5 **97968-89-3** 99055-78-4
99168-05-5 102550-06-1 102889-16-7 103046-81-7 **103096-30-6**
103156-82-7 **103861-13-8**

L64 ANSWER 4 OF 5 HCAOLD COPYRIGHT 2000 ACS
AN CA52:5725a CAOLD
TI benzimidazole-N-acetic acid and its growth activity
AU Cacace, Fulvio; Giuliano, R.; Inam-Ul-Haq
IT 40332-16-9 **54980-92-6** 55175-50-3 **99856-89-0**
99857-16-6 101284-71-3 **103096-30-6**

L64 ANSWER 5 OF 5 HCAOLD COPYRIGHT 2000 ACS
AN CA52:2841h CAOLD
TI 1-(.beta.-aminoalkyl)benzimidazoles
AU Wheatley, William B.; Stiner, G. F.
IT **22492-17-7 40508-01-8 100137-97-1**
100318-11-4 **100705-42-8 100705-43-9** 103394-45-2
103857-64-3 107059-83-6 108014-39-7 108040-45-5
110296-26-9 115861-34-2 116843-12-0 116867-39-1
119771-77-6

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FILE COVERS 1967 - 31 Aug 2000 VOL 133 ISS 9
FILE LAST UPDATED: 30 Aug 2000 (20000830/ED)

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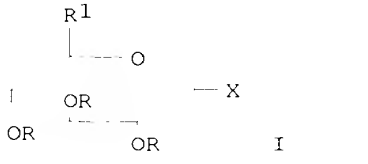
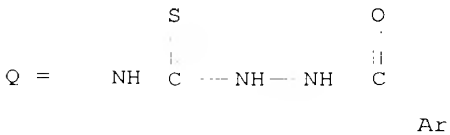
=> d l65 bib abs hitrn tot

L65 ANSWER 1 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 2000:272503 HCAPLUS
DN 133:104994
TI Synthesis of some (6-nitrobenzimidazol-1-yl)acetyl hydrazones
AU Liu, Suyan; Gao, Yuan; Xu, Pengfei; Zhang, Ziyi; Li, Hulin
CS College of Chemistry and Chemical Engineering, Lanzhou University, Lanzhou, 730000, Peop. Rep. China
SO Lanzhou Daxue Xuebao, Ziran Kexueban (2000), 36(1), 65-70
CODEN: LCTHAF; ISSN: 0455-2059
PB Lanzhou Daxue
DT Journal
LA Chinese
AB Eight arom. hydrazones were prepd. from (benzimidazol-1- yl)acetic acid or its deriv. by substituting with hydrazine hydrate, and condensing with various aryl aldehydes (p-dimethylaminobenzaldehyde, m-nitrobenzaldehyde, o-chlorobenzaldehyde, p-chlorobenzaldehyde, o-hydroxybenzaldehyde), acetone, and acetylferrocene, etc in a mixed soln. of abs. ethanol and DMF for 48 h. The compds. were identified by elemental analyses, IR, 1H NMR, and MS.
IT **97420-39-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis of nitrobenzimidazolylacetyl hydrazones)

L65 ANSWER 2 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1999:584161 HCAPLUS
DN 131:337255
TI Synthesis of 1-aroyl-4-[1'-N-.beta.-D-glycopyranosyl]thiosemicarbazides
AU Yu, Jianxin; Liu, Fangming; Li, Yanping; Cheng, Liang; Fan, Xin; Liu, Yuting
CS Department of Chemistry, Xinjiang University, Urumqi, 830046, Peop. Rep. China
SO Yingyong Huaxue (1999), 16(4), 41-46
CODEN: YIHUED; ISSN: 1000-0518
PB Yingyong Huaxue Bianji Weiyuanhui
DT Journal
LA Chinese
GI

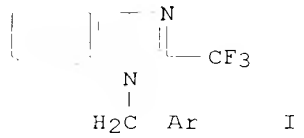




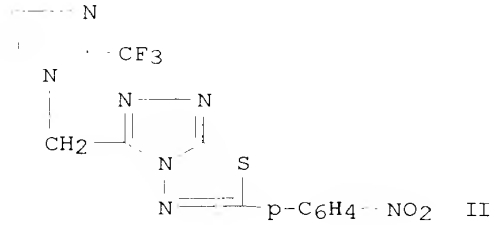
AB Title compds. I (X = .beta.-Q; R = OH, OAc; R1 = H, CH2OAc; Ar = C6H5, 4-ClC6H4, 4-MeOC6H4, 2-MeOC6H4, 2-HOC6H4, 4-pyridyl, 4-NO2C6H4, etc.) were prepd. from I (X = .alpha.-Br; R, R1, Ar as above), with I (X = .beta.-NCS; R, R1, Ar as above) as intermediates, in 95% EtOH under reflux.

IT **246163-29-1**
RL: RCT (Reactant)
(synthesis of 1-aroyl-4-[1'-N-.beta.-D-glycopyranosyl]thiosemicarbazides)

L65 ANSWER 3 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1999:562346 HCAPLUS
DN 131:286453
TI Synthesis of heterocyclic compounds from 2-trifluoromethylbenzimidazol-1-acetic acid hydrazide
AU Liu, Fang-Ming; Lu, Wen-Jie; Zhang, Zhen-Fang; Wang, Bao-Lei; Liu, Yu-Ting
CS Dep. Chem., Xinjiang Univ., Ulumuqi, 830046, Peop. Rep. China
SO Gaodeng Xuexiao Huaxue Xuebao (1999), 20(8), 1242-1247
CODEN: KTHPDM; ISSN: 0251-0790
PB Gaodeng Jiaoyu Chubanshe
DT Journal
LA Chinese
GI



I



II

AB A series of new 1,3,4-oxadiazoline and 1,2,4-triazolo[3,4-b][1,3,4]thiadiazole derivs. I (Ar = heterocyclic) were prepd. from 2-trifluoromethylbenzimidazol-1-acetic acid hydrazide. The title compd. II was prepd. and identified by IR, 1H NMR, MS and elementary anal.

IT **246163-29-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis of heterocyclic compds. from 2-trifluoromethylbenzimidazol-1-acetic acid hydrazide)

L65 ANSWER 4 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1998:672469 HCAPLUS
DN 129:293889
TI Pharmaceutical composition containing a phosphorylamide and an antibiotic
IN Oi, Satoru; Inatomi, Nobuhiro
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 221 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9842347	A1	19981001	WO 1998-JP1267	19980324

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN,

MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US,
UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
GA, GN, ML, MR, NE, SN, TD, TG

AU 9864222 A1 19981020 AU 1998-64222 19980324
JP 10324632 A2 19981208 JP 1998-76346 19980324
PRAI JP 1997-71391 19970325
WO 1998-JP1267 19980324
OS MARPAT 129:293889
AB A pharmaceutical compn. comprising RP(O)(NH2)2, wherein R represents an amino group which may be substituted, or a salt thereof, and an antibiotic, possesses excellent antibacterial activity, esp. potent antibacterial activity against Helicobacter bacteria such as H. pylori, and is useful for prevention or treatment of digestive diseases caused by Helicobacter bacteria, solely or in combination with an antacid and/or an acid secretion inhibitor. Of many compds. prepd. was N-(diaminophosphinyl)-2-thiophenecarboxamide. Ninety-nine compds. were tested for inhibitory effect against H. pylori-derived urease. Pharmaceutical formulations were also given.
IT **54980-92-6P,** 1H-Benzimidazole-1-acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(pharmaceutical compn. contg. a phosphorylamide and an antibiotic for treatment of Helicobacter infection)

L65 ANSWER 5 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:730159 HCAPLUS
DN 128:61463
TI Synthesis and antimicrobial testing of 4H-1,2,4-triazole, 1,2,4-triazolo[3,4-b][1,3,4]thiadiazole, and 1,2,4-triazolo[3,4-b][1,3,4]thiadiazine derivatives of 1H-benzimidazole
AU Habib, Nargues S.; Soliman, R.; Ashour, F. A.; El-Taiebi, M.
CS Fac. Pharmacy, Univ. Alexandria, Alexandria, Egypt
SO Pharmazie (1997), 52(11), 844-847
CODEN: PHARAT; ISSN: 0031-7144
PB Govi-Verlag Pharmazeutischer Verlag
DT Journal
LA English
OS CASREACT 128:61463
AB Three novel series of benzimidazole derivs. namely 6-substituted 3-[1-(2-alkyl-1H-benzimidazolyl)methyl]-1,2,4-triazolo[3,4-b][1,3,4]thiadiazoles, 6-substituted 3-[1-(2-alkyl-1H-benzimidazolyl)methyl]-7H-1,2,4-triazole[3,4-b][1,3,4]thiadiazines, and 6-thioxo-3-[1-(2-alkyl-1H-benzimidazolyl)methyl]-5,6-dihydro-1,2,4-triazolo[3,4-b][1,3,4]thiadiazoles were prepd. by cyclization of 1-[(4-amino-5-mercapto-4H-1,2,4-triazol-3-yl)methyl]-2-alkyl-1H-benzimidazoles as the key intermediates. Furthermore, 1-[(4-arylideneamino-5-mercapto-4H-1,2,4-triazol-3-yl)-methyl]-2-alkyl-1H-benzimidazoles were prepd., and some of them were cyclized to 6-substituted 3-[1-(2-alkyl-1H-benzimidazolyl)methyl]-1,2,4-triazolo[3,4-b][1,3,4]thiadiazoles using SO2Cl2. The prepd. compds. were tested for antimicrobial activity in vitro and showed moderate activity.
IT **97420-40-1 131717-37-8**
RL: RCT (Reactant)
(prepn. and antimicrobial activity of triazole, triazolothiadiazole, and triazolothiadiazine derivs. of benzimidazole)

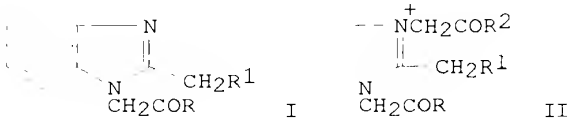
L65 ANSWER 6 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:687429 HCAPLUS
DN 128:22862
TI Synthesis and antimicrobial testing of novel oxadiazolylbenzimidazole derivatives
AU Habib, Nargues Samuel; Soliman, R.; Ashour, F. A.; El-Taiebi, M.
CS Faculty Pharmacy, University Alexandria, Alexandria, Egypt
SO Pharmazie (1997), 52(10), 746-749
CODEN: PHARAT; ISSN: 0031-7144

PB Govi-Verlag Pharmazeutischer Verlag
DT Journal
LA English
OS CASREACT 128:22862
AB Three novel series of oxadiazolylbenzimidazoles were prepd., namely 1-[(2-alkyl/aralkylthio-1,3,4-oxadiazol-5-yl)methyl]-, 1-[(3-aminomethyl-2-thioxo-2,3-dihydro-1,3,4-oxadiazol-5-yl)methyl]-, and 1-[(2-amino-1,3,4-oxadiazol-5-yl)methyl]-2-alkyl-1H-benzimidazoles. Some of the compds. showed weak antimicrobial activity.
IT **97420-40-1 131717-37-8**
RL: RCT (Reactant)
(prepn. of oxadiazolylbenzimidazoles with weak antimicrobial activity)

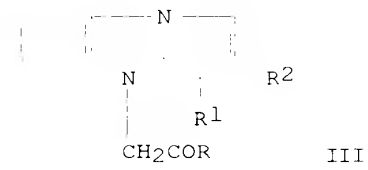
L65 ANSWER 7 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:532510 HCAPLUS
DN 127:149109
TI Azino-Fused Benzimidazolium Salts as DNA Intercalating Agents. 2.
AU Pastor, Joaquin; Siro, Jorge G.; Garcia-Navio, Jose L.; Vaquero, Juan J.; Alvarez-Builla, Julio; Gago, Federico; de Pascual-Teresa, Beatriz; Pastor, Manuel; Rodrigo, M. Melia
CS Departamento de Quimica Organica Departamento de Quimica-Fisica and Departamento de Farmacologia, Universidad de Alcala, Madrid, 28871, Spain
SO J. Org. Chem. (1997), 62(16), 5476-5483
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
AB The synthesis of new pyrido[1,2-a]- and pyridazino[1,6-a]benzimidazolium salts by basic condensation of 1,3-disubstituted 2-alkylbenzimidazolium salts and 1,2-diketones and subsequent chem. transformations is described. The DNA-binding properties were examd. by UV-vis spectroscopy, viscosimetric detn., and mol. modeling techniques. The presence of a flat polycyclic hydrocarbon moiety such as a naphthalene-1,8-diyl or a biphenyl-o,o'-diyl, fused to the cationic heterocycle, appears to enhance the interaction with DNA. Variation of the substituents on the indole-like N will allow us to build up a new series of bis-salts with bis-intercalating properties.
IT **193405-08-2**
RL: RCT (Reactant)
(prepn. of azino-fused benzimidazolium salts as DNA intercalating agents)

L65 ANSWER 8 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1996:570261 HCAPLUS
DN 125:315091
TI New metal complexes derived from 1-N-(benzimidazole-1-acetyl)-4-phenyl-3-thiosemicarbazide
AU Xu, Zhuguo; Xu, Pengfei; Wu, Shaozu
CS Lanzhou Med. Coll., Lanzhou, 730000, Peop. Rep. China
SO Hecheng Huaxue (1996), 4(2), 137-140
CODEN: HEHUE2; ISSN: 1005-1511
DT Journal
LA Chinese
AB The synthesis of Mn(II), Cu(II), Co(II), Zn(II), Cd(II), Ni(II) and UO2(II) complexes of new ligand 1-N-(benzimidazole-1-acetyl)-4-phenyl-3-thiosemicarbazide (BPMS) was reported. These compds. were characterized by elemental anal., IR, 1H NMR and thermoanal. IR spectra showed that the complex was a tetradentate coordination compd. involving a carbonyl and a thiocarbonyl group connected with the adjacent nitrogen atoms (C:N groups) with each ligand binding to two metal centers. The resultant complexes are proposed to be a polymeric structure that repeats through consecutive ligand-metal linkage.
IT **97420-39-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with Ph isothiocyanate)

L65 ANSWER 9 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1994:77218 HCAPLUS
DN 120:77218
TI Investigation in the imidazole series. 94. Synthesis of pyrrolo[1,2-a]benzimidazolyl-4-acetic acid derivatives
AU Kochergin, P. M.; Paley, R. M.; Chernyak, S. A.
CS Tsentr. Khim. Lekar. Sredstv, Moscow, 119815, Russia
SO Khim. Geterotsikl. Soedin. (1993), (5), 659-63
CODEN: KGSSAQ; ISSN: 0132-6244
DT Journal
LA Russian
OS CASREACT 120:77218
GI



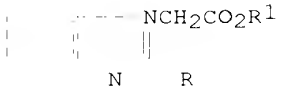
I II



III

AB Quaternization of benzimidazolyl-4-acetic acid derivs. I [R = OH, alkoxy, (substituted)amino, R1 = H, Ph, Me] with BrCH2COR2 [R2 = Me, (un)substituted Ph] afforded benzimidazolium derivs. II (in up to 95% yield); basic cyclization of II afforded the title compds. III in up to 87% yield. III (R = amino) amides were prepd. via 3 methods: cyclization of the corresponding II amide; cyclization/amidation of the corresponding II ester with the desired amine; and amidation of III ester with the desired amine.
IT **152342-28-4**
RL: RCT (Reactant)
(quaternization of, with bromomethyl ketone)

L65 ANSWER 10 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1994:77217 HCAPLUS
DN 120:77217
TI Investigation in the imidazole series. 93. Synthesis of benzimidazole-1-acetic acid derivatives
AU Kochergin, P. M.; Paley, R. M.; Chernyak, S. A.
CS Tsentr. Khim. Lekar. Sredstv, Moscow, 119815, Russia
SO Khim. Geterotsikl. Soedin. (1993), (5), 656-8
CODEN: KGSSAQ; ISSN: 0132-6244
DT Journal
LA Russian
GI



I

AB Benzimidazole-1-acetates and their 2-alkyl(aralkyl) substituted derivs. I (R = H, Me, Et, PhCH2, R1 = Me, Et) were easily obtained in 70-97% yields

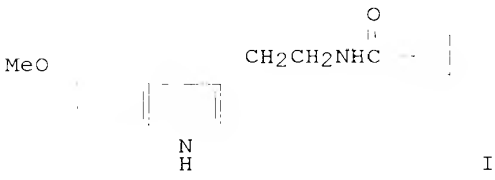
by reaction of benzimidazoles with Cl(Br)CH2CO2R1 in DMF contg anhyd. K2CO3. The corresponding amides were obtained in 53-99 yields by reactions with R2NH2 (R2 = H, Bu, cyclobutyl, NH2).

IT **97420-40-1P 126993-64-4P 152342-28-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L65 ANSWER 11 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1993:254750 HCAPLUS
DN 118:254750
TI Arylethylamine derivatives, processes for their preparation and pharmaceutical uses
IN Lesieur, Daniel; Yous, Said; Depreux, Patrick; Andrieux, Jean; Adam, Gerard; Caignard, Daniel Henri; Guardiola, Beatrice
PA ADIR et Cie., Fr.
SO Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 527687	A2	19930217	EP 1992-402279	19920813
	EP 527687	A3	19930310		
	EP 527687	B1	19951122		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	FR 2680366	A1	19930219	FR 1991-10261	19910813
	FR 2680366	B1	19950120		
	CA 2075876	AA	19930214	CA 1992-2075876	19920812
	AU 9220950	A1	19930218	AU 1992-20950	19920812
	AU 649864	B2	19940602		
	US 5276051	A	19940104	US 1992-931574	19920812
	ZA 9206093	A	19931115	ZA 1992-6093	19920813
	JP 06199784	A2	19940719	JP 1992-258801	19920813
	JP 2521396	B2	19960807		
	AT 130604	E	19951215	AT 1992-402279	19920813
	ES 2083123	T3	19960401	ES 1992-402279	19920813
	US 5308866	A	19940503	US 1993-93279	19930719
	US 5380750	A	19950110	US 1993-93769	19930719
PRAI	FR 1991-10261	19910813			
	US 1992-931574	19920812			

OS MARPAT 118:254750
GI



I

AB Arylethylamines Ar'CH2CH2NR1R2 are prepd. in which Ar' = variously substituted heterocycles, including indol-3-yl, benzo[b]thiophen-3-yl, benzimidazol-1-yl, benzo[b]furan-3-yl, 1,2-benzisoxazol-3-yl, 1,2-benzisothiazol-3-yl, or indazol-3-yl derivs., R1 = COR7 [R7 = (un)substituted cycloalkyl or cycloalkyl-(C1-4)alkyl, CF3, or R7 = linear or branched halo-(un)substituted C1-6 alkyl for certain Ar'], or R1 = CONHR8 or CSNHR8 [R8 = linear or branched C1-6 alkyl, (un)substituted cycloalkyl or cycloalkyl-(C1-4)alkyl, (un)substituted Ph or aryl-(C1-3)alkyl], or R1 = CO(CH2)nE1 [n = 1-3, E1 = morpholino, piperazine (un)substituted with (CH2)nE2, where n = 1-4, E2 = (un)substituted Ph or naphthyl], and R2 = H, linear or branched C1-6

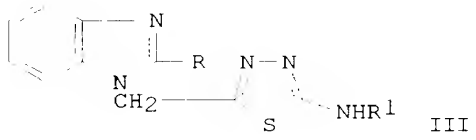
alkyl. Thus, reaction of 5-methoxytryptamine with cyclopropanecarboxylic acid chloride in H2O/CHCl3 in the presence of K2CO3 for 30 min. afforded example title compd. I in 80.5% yield. The arylethylamines were tested and are claimed for a variety of pharmaceutical applications. These studies and applications include binding to melatonin receptors, treatment of ischemia microcirculation, stimulation of the immune response, ovulation inhibition, use as anxiolytics, antipsychotics, analgesics, neoplasm inhibitors of selected cancers, for treatment of skin disorders, e.g., psoriasis, acne, and seborrhea, and in veterinary skin disorder. A tablet formulation comprising N-[2-(5-methoxyindol-3-yl)ethyl]-N'propylurea is given.

IT **147621-92-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and pharmaceutical applications of)

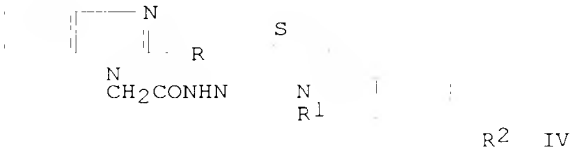
L65 ANSWER 12 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1991:62011 HCAPLUS
DN 114:62011
TI Synthesis of benzimidazole derivatives as potential antimicrobial agents
AU Habib, Nargues Samuel; Abdel-Hamid, Soad; El-Hawash, M.
CS Fac. Pharm., Univ. Alexandria, Alexandria, Egypt
SO Farmaco (1989), 44(12), 1225-32
CODEN: FRMCE8
DT Journal
LA English
GI

I

II



III

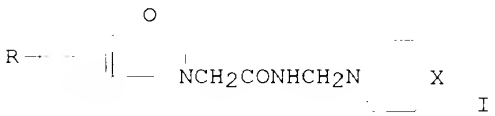


IV

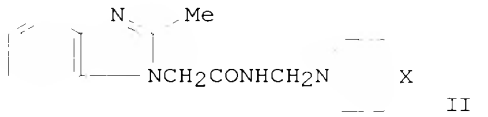
AB Three novel series of title compds. were prepd. from alkylbenzimidazolacetic acid hydrazides I (R = Me, Et) and R1NCS (R1 = Bu, Ph, cyclohexyl, PhCH2) namely; alkylthioxotriazolylmethylbenzimidazoles II, aminothiadiazolylmethylbenzimidazoles III, and 2-alkyl[(thiazolinylidene)hydrazinocarbonyl)]methylbenzimidazoles IV (R2 = H, Cl). Antimicrobial testing of prepd. compds. as well as of the key intermediate thiosemicarbazides showed most of the compds. were active against Staphylococcus aureus, Escherichia coli, and Candida albicans.

IT **97420-40-1 131717-37-8**
RL: RCT (Reactant)
(addn. reaction of, with isothiocyanates and bactericidal and fungicidal activity of)

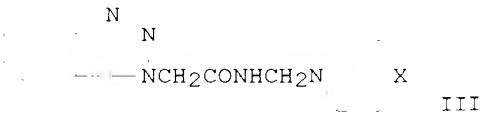
L65 ANSWER 13 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1990:216795 HCAPLUS
DN 112:216795
TI Aminomethylamides of N-benzazolylacetic acids
AU Domagalina, Eugenia; Bien, Irena; Zawisza, Pawel
CS Dep. Chem. Drugs, Sch. Med., Lublin, 20-022, Pol.
SO Acta Pol. Pharm. (1989), 46(2), 114-18
CODEN: APPHAX; ISSN: 0001-6837
DT Journal
LA Polish
GI



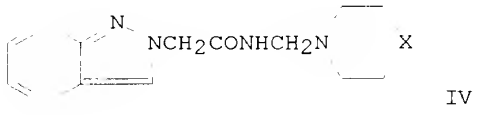
I



II



III



IV

AB In the reaction with BrCH2CO2Et, a benzazole was converted into the N-ethoxycarbonylmethyl deriv., which was subject to ammonlysis to yield the N-carbamoylmethyl deriv. This was finally treated with CH2O and morpholine or piperidine. Thus were prepd.: I (R = H, 5- and 6-Cl), II, III, and IV (all with X = O, CH2). In preliminary pharmacol. tests, the N-carbamoylmethyl derivs. revealed moderate analgesic, myolytic, and depressant activity in mice.
IT **126993-64-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and aminomethylation of)

L65 ANSWER 14 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1988:570325 HCAPLUS
DN 109:170325
TI Synthesis and spectroscopic properties of N-azolylpropanamides
AU De la Cruz, Angeles; Elguero, Jose; Goya, Pilar; Martinez, Ana
CS Inst. Quim. Med., CSIC, Madrid, 28006, Spain
SO J. Heterocycl. Chem. (1988), 25(1), 225-9
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
OS CASREACT 109:170325
GI

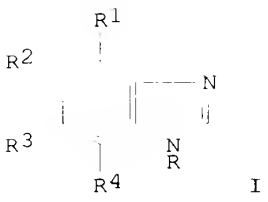
I II

AB Fourteen N-azolylpropanamides, e.g. I and II, have been prepd. by Michael addn. of azoles with acrylamide. The compds. have been fully characterized by IR and 1H and 13C-NMR. The isolated compds. are the most stable derivs.; kinetic compds. were obsd. but could not be isolated.

IT **22492-17-7P**, 1H-Benzimidazole-1-propanamide **116857-94-4P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spectra of)

L65 ANSWER 15 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1987:168488 HCAPLUS
DN 106:168488
TI Identification of 2-benzimidazolylurea as a new antimitotic compound based on cross resistance studies with nocodazole resistant mutants of CHO cells
AU Gupta, Radhey S.
CS Dep. Biochem., McMaster Univ., Hamilton, ON, L8N 3Z5, Can.
SO Biochem. Biophys. Res. Commun. (1987), 143(1), 225-32
CODEN: BBRCA9; ISSN: 0006-291X
DT Journal
LA English
AB The cross-resistance patterns of a set of nocodazole [31430-18-9]-resistant (NocR) and podophyllotoxin [518-28-5]-resistant (PodR) mutants of Chinese hamster ovary cells, which exhibit highly-specific cross-resistance toward compds. that show nocodazole-like antimitotic activity, towards a large no. of benzimidazole derivs. was examd. Of the various compds. examd., the NocR and the PodR mutants were found to exhibit increased cross-resistance towards only 2-benzimidazolylurea [24370-25-0], indicating that this compd. may possess similar biol. activity as nocodazole. The nocodazole-like antimitotic activity of 2-benzimidazolylurea was confirmed by its ability to block cells in mitosis, and by its competition of [3H]podophyllotoxin binding to microtubule proteins in cell exts. The nocodazole-like behavior of 2-benzimidazolylurea and lack of similar activity in other benzimidazole derivs. examd., provides valuable information regarding structural features that are required for this type of biol. activity.
IT **107902-99-8**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(antimitotic activity of, in nicodazole- and podophyllotoxin-resistant cells)

L65 ANSWER 16 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1986:608805 HCAPLUS
DN 105:208805
TI Cyanoethylation of benzimidazoles: synthesis and biological activities of some new 1-(.beta.-cyanoethyl)benzimidazoles and their derivatives
AU Kumar, B. Vijaya; Reddy, V. Malla
CS Univ. Coll. Pharm. Sci., Kakatiya Univ., Warangal, 506 009, India
SO Indian J. Chem., Sect. B (1985), 24B(10), 1098-101
CODEN: IJSBDB; ISSN: 0376-4699
DT Journal
LA English
OS CASREACT 105:208805
GI

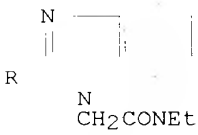


I

AB 1-(.beta.-Cyanoethyl)benzimidazoles I (R = CH2CH2CN; R1 = NO2, R2 = R3 = R4 = H; R1 = R2 = R4 = H, R3 = Br; R1 = R3 = Br, R2 = R4 = H) were prepd. by the Michael addn. of acrylonitrile on benzimidazoles I (R = H). Their acid hydrolysis furnished the corresponding benzimidazolylpropionic acids I (R = CH2CH2CO2H) which were esterified to the ethyl esters. The benzimidazolylpropionic acid hydrazides I (R = CH2CH2CONHNH2) were obtained in quant. yields by the action of N2H4 on the Et esters. The acute toxicity and antifungal, analgesic and antiinflammatory activities of I (R = CH2CH2CN, CH2CH2CO2H) were detd.

IT **105197-25-9P 105197-26-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antifungal activity of)

L65 ANSWER 17 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1985:504889 HCAPLUS
DN 103:104889
TI Homolytic substitution and carbenoidic reactions in the preparation of benzimidazole derivatives of pharmaceutical interest: synthesis and properties of (2-cycloalkyl-1-benzimidazolyl)-N,N-diethylacetamides
AU Pellicciari, Roberto; Fringuelli, Renata; Natalini, Benedetto; Brucato, Leonardo; Contessa, Anna Rita
CS Ist. Chim. Farm. Tec. Farm., Univ. Studi Perugia, Perugia, I-06100, Italy
SO Arch. Pharm. (Weinheim, Ger.) (1985), 318(5), 393-9
CODEN: ARPMAS; ISSN: 0365-6233
DT Journal
LA English
OS CASREACT 103:104889
GI



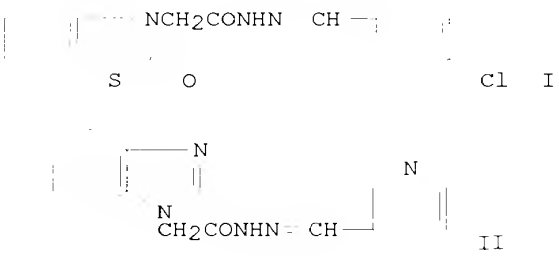
I

AB The title compds. I (R = cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl) were prepd. by the homolytic cycloalkylation of benzimidazole with RCO2H and the N-alkylation of benzimidazole by the ethoxycarbonylcarbenoid generated by the copper bronze-catalyzed decompn. of Et diazoacetate.

IT **97968-89-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antispasmotic activity of)

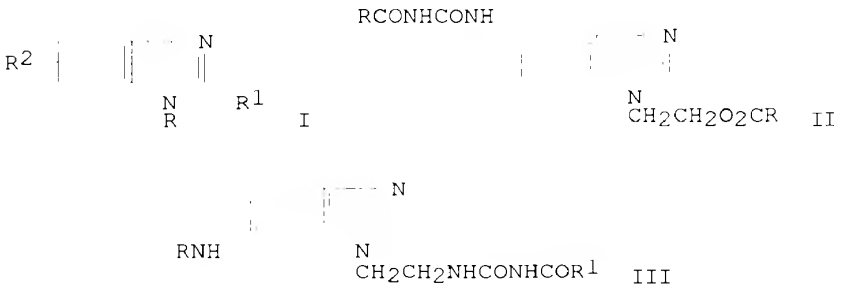
L65 ANSWER 18 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1985:453994 HCAPLUS
DN 103:53994
TI Synthesis of N-benzoxazolinone, N-benzothiazolinone and N-benzimidazole arylidene hydrazides
AU Domagalina, Eugenia; Bien, Irena; Gaj, Barbara; Zawisza, Pawel

CS Inst. Anal. Technol. Farm., Akad. Med., Lublin, Pol.
SO Ann. Univ. Mariae Curie-Sklodowska, Sect. D (1984), Volume Date 1982, 37, 177-82
CODEN: AUMKAS; ISSN: 0066-2240
DT Journal
LA Polish
GI



AB Twenty title hydrazides (e.g., I, and II) were prepd. by treating the appropriate heterocycle with ClCH2CO2Et, followed by hydrazinolysis and treatment with an arom. or hetaryl aldehyde. The compds. were prepd. as potential bactericides and anthelmintics (no data).
IT **97420-39-8P 97420-40-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with aldehydes)

L65 ANSWER 19 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1980:58688 HCAPLUS
DN 92:58688
TI Synthesis and pharmacological study of some derivatives of benzimidazole. VIII. Benzimidazole derivatives of urea
AU Mukhina, N. A.; Shkrabova, L. V.; Romanova, T. V.; Pechenina, V. M.; Kazakova, V. P.; Pashinskii, V. G.
CS Nauchno-Issled. Khim.-Farm. Inst., Novokuznetsk, USSR
SO Khim.-Farm. Zh. (1979), 13(10), 39-44
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
GI

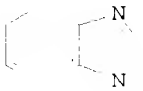


AB Reaction of aminobenzimidazole I (R2 = 5- or 6-NH2; R = R4 = H; R = H, R1 = Me, Et; R = Me, benzyl, CH2CH2OH, CH2CH2NHCONH2, R1 = H) with O2NNHCONH2 and NaCNO gave 42-95% I (R2 = 5- or 6-NHCONH2). II (R = Et, PhOCH2, 4-MeOC6H4) and III (R = EtCO, R1 = Et; R = PhOCH2CO, R1 = EtOCH2; R = EtCONHCO, R1 = Et; R = PhOCH2CONHCO, R1 = PhOCH2; R = 4-MeOC6H4CONHCO, R1

= 4-MeOC6H4) were prepd. by acylation. I (R2 = 5- or 6-H2NCONH; R1 = H, R = H, benzyl), II (R = 4-MeOC6H4) and III (R = EtCO, R1 = Et; R = PhOCH2CONHCO, R1 = PhOCH2) have diuretic activity and increase diuresis by 2-4 times relative to the control. II (R = Et, PhOCH2) have an antidiuretic effect.

IT **72550-35-7**
RL: RCT (Reactant)
(reaction of, with nitrourea)

L65 ANSWER 20 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1979:523671 HCAPLUS
DN 91:123671
TI Synthesis in the benzimidazole series. Synthesis of benzimidazole N-.beta.-ethyl carboxylic acid and 2-methyl benzimidazole N-.beta.-ethyl carboxylic acid
AU Alam, M. N.
CS Chem. Div., BCSIR Lab., Chittagong, Bangladesh
SO Bangladesh Pharm. J. (1978), 7(3), 22-4
CODEN: BPJLAQ; ISSN: 0301-4606
DT Journal
LA English
GI



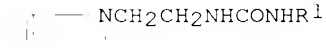
CH_2CH_2R I

AB Benzimidazole was treated with H2C:CHCN to give the benzimidazole I (R = CN), which was hydrolyzed and the I (R = CONH2) further hydrolyzed to give I (R = CO2H).

IT **22492-17-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)

L65 ANSWER 21 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1978:182452 HCAPLUS
DN 88:182452
TI Diuretic activity of benzimidazole derivatives of urea
AU Pashinskii, V. G.; Romanova, T. V.; Mukhina, N. A.; Shkrabova, L. V.; Tetenchuk, K. P.
CS Lab. Biol. Kontrolya, Novokuz. Nauchno-Issled. Khim.-Farm. Inst., Novokuznets, USSR
SO Farmakol. Toksikol. (Moscow) (1978), 41(2), 196-9
CODEN: FATOAO; ISSN: 0014-8318
DT Journal
LA Russian
GI

R



$NCH_2CH_2NHCONHR^1$

N I

AB Six of 16 benzimidazole derivs. of urea (I) had diuretic activity in rats whereas 6 had antidiuretic activity and 4 had no activity. Benzimidazole-1-ethylurea [**59336-96-8**] had the greatest diuretic effect. The diuretic activity of I depended on the nature of the acyl radicals: compds. with an odd no. of C atoms had expressed diuretic

activity, whereas those with an even no. of C atoms were practically inactive. Diuretic properties of compds. contg. nitro groups had little or no activity. The valeric acid deriv. [59337-02-9] had moderate diuretic activity, whereas the isovaleric acid deriv. [66473-25-4] had antidiuretic properties.

IT **59336-96-8**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(diuretic activity of, structure in relation to)

L65 ANSWER 22 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1976:405549 HCAPLUS
DN 85:5549
TI Synthesis and pharmacological studies of some benzimidazole derivatives. VI. Benzimidazole derivatives of urea
AU Shkrabova, L. V.; Mukhina, N. A.; Kurilenko, V. M.; Gilev, A. P.; Basova, L. P.; Motovilova, V. G.; Romanova, T. V.; Pashinskii, V. G.
CS Novokuz. Nauchno-Issled. Khim.-Farm. Inst., Novokuznetsk, USSR
SO Khim.-Farm. Zh. (1976), 10(2), 49-53
CODEN: KHFZAN
DT Journal
LA Russian
GI

I

AB (Benzimidazolylethyl)ureas I (R = H, 5-, 6-NO2, R1 = C1-5 alkyl, Ph, PhCH2, PhCH:CH, p-MeOC6H4, o-BrC6H4), useful as analgesics, muscle relaxants, and diuretics, were obtained in 84-99% yields by acylation of the corresponding (benzimidazolylethyl)urea with RCOCl.

IT **59336-96-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acylation of)

L65 ANSWER 23 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1975:140010 HCAPLUS
DN 82:140010
TI Reactions of cyanomethylbenzimidazoles. I. Synthesis of 1- and 2-cyanomethylbenzimidazoles and some of their derivatives
AU Sawlewicz, Jozef; Milczarska, Barbara
CS Inst. Technol. Drug Anal., Med. Acad., Gdansk, Pol.
SO Pol. J. Pharmacol. Pharm. (1974), 26(6), 639-46
CODEN: PJPPAA
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Cyanomethylbenzimidazoles I (R,R1 = H, Me) were prepd. by treating the o-phenylenediamines with NCCH2CO2Et. I were converted to their amidoximes and thioamides. II (R2 = H, Me, Et, Pr, Ph) were prepd. by treating the benzimidazoles with ClCH2CN and were hydrolyzed to their amides and acids.

IT **54980-92-6P 54980-94-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L65 ANSWER 24 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1973:111212 HCAPLUS
DN 78:111212
TI Acrylamidization of benzimidazoles
AU Efros, A. M.; Usaevich, O. N.

CS USSR
SO Zap. Leningrad. Sel'sk. Khoz. Inst. (1972), No. 180, 49-51
From: Ref. Zh., Khim. 1972, Abstr. No. 16Zh374
DT Journal
LA Russian
GI For diagram(s), see printed CA Issue.
AB CH2:CHCONH2 was added portionwise to benzimidazole and Et3(PhCH2)NOH in pyridine and the mixt. stirred 4 hr to give I (R = R1 = H), which heated 14 hr with aq. Ba(OH)2 gave II (R = H). Similarly, 5(6)-nitrobenzimidazole (5-6 hr at 45-50.degree.) gave I (R = H; R1 = 5-NO2) and I (R = H, R1 = 6-NO2). Similarly, 2-methylbenzimidazole gave (8 hr heating) 65% I (R = Me, R1 = H), which as above gave II (R = Me). Also prepd. was I [R = Me, R1 = 5(6)-NO2].
IT **22492-17-7P 40508-01-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L65 ANSWER 25 OF 25 HCAPLUS COPYRIGHT 2000 ACS
AN 1969:96715 HCAPLUS
DN 70:96715
TI Acylation of nitrogen heterocycles under the conditions of the Schotten-Baumann reaction. I. Benzimidazoles
AU Ben-Ishai, Dov; Babad, E.; Bernstein, Z.
CS Technion-Israel Inst. Technol., Haifa, India
SO Israel J. Chem. (1968), 6(5), 551-67
CODEN: ISJCAT
DT Journal
LA English
AB 1-(R-Substituted)-2-(R1-substituted)benzimidazoles (I) are acylated to give phenylenediamines o-RN(COR1)-C6H4NHCOR2 (II); 1-(R-substituted)-2-(R1-substituted)-3-(R2-substituted)-2-hydroxybenzimidazolines (III) and 1-(R-substituted)-3-(R1-substituted)-2-benzimidazolones (IV) are also prepd. Thus, 0.005 mole I (R1 = H) are treated with 0.0075 mole ClCO2CH2Ph in EtOAc in the presence of N NaHCO3 to give N-phenethyl-N-formyl-N'-carbobenzoxy-o-phenylenediamine, m. 85-6.degree., and the following II (R1 = H,R2 = OCH2Ph) (R and m.p. given): PhCH2, 108-9.degree.; p-O2NC6H4CH2, 107-8.degree.; Ph, 130-1.degree.; CH2CH2CONH2, 178-9.degree.; CH2CH2CO2H, 103-4.degree.; CH2CO2CH2Ph, 97-9.degree.; CH2CONH2, 181-2.degree.; CH2CO2H 144-5.degree.; CH2CH2OBz, 88-90.degree.; CH2CH2SCH2Ph, -; and p-O2N-C6H4, 158-9.degree.. Similarly prepd. are the following II (R = PhCH2, R1 = H) (R2 and m.p. given): OMe, 117-18.degree.; OEt, 132-3.degree.; and OBu-iso, 108-9.degree.; the following II (R1 = H,R2 = Ph) (R and m.p. given): PhCH2CH2, 164-5.degree.; PhCH2, 118-19.degree. p-O2NC6H4CH2, 153-4.degree.; Ph, 131-2.degree.; p-O2NC6H4, 106-8.degree.; BzOCH2CH2, 146-7.degree.; EtO2CCH2, 107-8.degree.; and H2NCOCH2, 174-5.degree.; the following II (R = PhCH2CH2, R1 = H) (R2 and m.p. given): p-O2NC6H4, 134-5.degree., o-O2NC6H4, 159-60.degree.; p-MeOC6H4, 80-3.degree.; o-MeOC6H4, 118-19.degree.; and o-tolyl, 88-9.degree.; the following II (R = PhCH2, R1 = H) (R2 and m.p. given): p-O2NC6H4, 11-13.degree.; o-O2NC6H4, 62-3.degree.; p-MeOC6H4, 105-6.degree.; o-MeOC6H4, 104.degree.; and o-tolyl, 119-20.degree.; the following II (R = EtO2CCH2CH2, R1 = H) (R2 and m.p. given): p-O2NC6H4, 116-18.degree.; p-MeOC6H4, -; o-MeOC6H4, 84-5.degree.; and o-tolyl, -; the following II (R = H2NCOCH2CH2, R1 = H) (R2 and m.p. given): p-O2NC6H4, 156-7.degree.; o-MeOC6H4, 170-1.degree.; and o-tolyl, 114-16.degree.; the following II (R = PhCH2, R2 = PhCH2O) (R1 and m.p. given): Me, 127-9.degree.; PhCH2, 101-2.degree.; and Ph, 144-6.degree.; and II (R = PhCH2O2C, R1 = H,R2 = Bz) (m. 107-8.degree.), I (R = H, R1 = PhCH2) gives II (R = PhCH2O2C, R1 = Me, R2 = PhCH2O) (m. 121-2.degree.). I (R = PhCH2O2C, R1 = H) (m. 69-70.degree.) is treated with ClCO2CH2Ph to give III (R = R2 = PhCH2O2C, R1 = H), m. 114-16.degree.; similarly prepd. is III (R = PhCH2O2C, R1 = H, R2 = Bz) (m. 107-8.degree.). I (R = H, R1 = PhCH2) gives III (R = R2 = PhCH2O2C, R1 = PhCH2) (m. 91-3.degree.). III (R = R2 = PhCH2O2C, R1 = H) is treated with NaOH to give 2-benzimidazolone and II (R = H, R1 = R2 = PhCH2O). Also prepd. are (m.p. given): IV (R = PhCH2O2C, R1 = H), 175-6.degree.; II

(R = R1 = H, R2 = Ph), 154-5.degree.; II (R = H, R1 = PhCH2O, R2 = Ph), 112-13.degree.; III (R = R2 = PhCH2O2C, R1 = PhCH2), 91-3.degree.; IV (R = PhCH2O2C, R1 = PhCH2CO), 131-2.degree.; IV (R = Ac, R1 = PhCH2O2C), 140-1.degree.; IV (R = PhCH2O2C, R1 = H), 175-6.degree.; and IV (R = Ac, R1 = H), 205-7.degree.; the following I (R1 = H) (R and m.p. given); PhCH2CH2, 77-8.degree.; p-O2NC6H4CH2, 102.degree.; p-O2NC6H4, 186-7.degree.; EtO2CCH2CH2, -; H2NCOCH2CH2, 181-3.degree.; HO2CCH2CH2, 151-2.degree.; HOCH2CH2, 107-8.degree.; BzOCH2CH2, 114-15.degree.; ClCH2CH2, 86-7.degree.; and PhCH2SCH2CH2, 101-2.degree.. Also prepd. were (m.p. given): I (R = PhCH2, R1 = Me), 68-9.degree.; I (R = R1 = Ph-CH2), 143-4.degree..

IT **22492-17-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

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>>> the /IC5 and /IC fields include the corresponding catchword <<<
>>> terms from the IPC subject headings and subheadings. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L66 ANSWER 1 OF 3 USPATFULL
AN 95:3866 USPATFULL
TI Arylethylamine compounds
IN Lesieur, Daniel, Gondecourt, France
Yous, Said, Lille, France
Depreux, Patrick, Armentieres, France
Andrieux, Jean, Antony, France
Adam, Gerard, Le Mesnil Le Roi, France
Caignard, Daniel H., Paris, France
Guardiola, Beatrice, Neuilly Sur Seine, France
PA Adir et Compagnie, Courbevoie, France (non-U.S. corporation)
PI US 5380750 19950110
AI US 1993-93769 19930719 (8)
RLI Division of Ser. No. US 1992-931574, filed on 12 Aug 1992, now patented, Pat. No. US 5276051, issued on 4 Jan 1994

PRAI FR 1991-10261 19910813
DT Utility
EXNAM Primary Examiner: Brust, Joseph Paul; Assistant Examiner: Gabilan, Mary Susan H.
LREP Hueschen, Gordon W.
CLMN Number of Claims: 23
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 910
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a compound selected from those of formula (I): ##STR1## in which Ar', R.sub.1 and R.sub.2 are as defined in the specification, an optical isomer,

and an addition salt thereof with a pharmaceutically-acceptable acid or base.

Medicinal product which is useful in treating or in preventing a disorder of the melatoninergic system.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **147621-92-9P**
(prepn. and pharmaceutical applications of)

L66 ANSWER 2 OF 3 USPATFULL
AN 94:37963 USPATFULL
TI Benzofuran ethylamine compounds
IN Lesieur, Daniel, Gondecourt, France
Yous, Said, Lille, France
Depreux, Patrick, Armentieres, France
Andrieux, Jean, Antony, France
Adam, Gerard, Le Mesnil Le Roi, France
Caignard, Daniel H., Paris, France
Guardiola, Beatrice, Neuilly Sur Seine, France
PA Adir et Compagnie, Courbevoie, France (non-U.S. corporation)
PI US 5308866 19940503
AI US 1993-93279 19930719 (8)
RLI Division of Ser. No. US 1992-931574, filed on 12 Aug 1992
PRAI FR 1991-10261 19910813
DT Utility
EXNAM Primary Examiner: Brust, Joseph Paul; Assistant Examiner: Gabilan, Mary Susan H.
LREP Hueschen, Gordon W.
CLMN Number of Claims: 5
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 755
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a compound selected from those of formula (I): ##STR1## in which Ar', R.sub.1 and R.sub.2 are as defined in the specification, an optical isomer,

and an addition salt thereof with a pharmaceutically-acceptable acid or base.

Medicinal product which is useful in treating or in preventing a disorder of the melatoninergic system.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **147621-92-9P**
(prepn. and pharmaceutical applications of)

L66 ANSWER 3 OF 3 USPATFULL
AN 94:1444 USPATFULL
TI Arylethylamine compounds
IN Lesieur, Daniel, Gondecourt, France

Yous, Said, Lille, France
Depreux, Patrick, Armentieres, France
Andrieux, Jean, Antony, France
Adam, Gerard, Le Mesnil le Roi, France
Caignard, Daniel H., Paris, France
Guardiola, Beatrice, Neuilly sur Seine, France
PA Adir et Compagnie, Courbevoie, France (non-U.S. corporation)
PI US 5276051 19940104
AI US 1992-931574 19920812 (7)
PRAI FR 1991-10261 19910813
DT Utility
EXNAM Primary Examiner: Brust, Joseph Paul; Assistant Examiner: Gabilan, Mary Susan H.
LREP Hueschen, Gordon W.
CLMN Number of Claims: 11
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 774
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a compound selected from those of formula (I): ##STR1## in which Ar', R.sub.1 and R.sub.2 are as defined in the specification, an optical isomer,

and an addition salt thereof with a pharmaceutically-acceptable acid or base.

Medicinal product which is useful in treating or in preventing a disorder of the melatoninergic system.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **147621-92-9P**
(prepn. and pharmaceutical applications of)